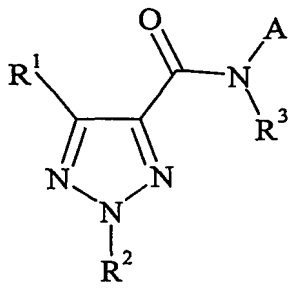
CHEMICAL COMPOUNDS

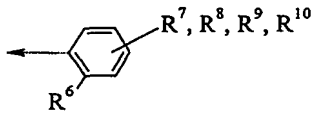
The present invention relates to novel 1,2,3-triazole derivatives which have microbiocidal activity, in particular fungicidal activity. The invention also relates to novel intermediates used in the preparation of these compounds, to agrochemical compositions which comprise at least one of the novel compounds as active ingredient and to the use of the active ingredients or compositions in agriculture or horticulture for controlling or preventing infestation of plants by phytopathogenic microorganisms, preferably fungi.

The present invention provides a compound of formula (I):

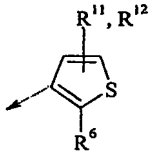


(I)

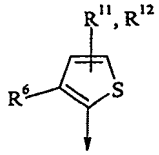
where A is an *ortho*-substituted ring selected from formulae (A1) to (A22);



(A1)



(A2)



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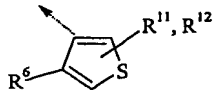

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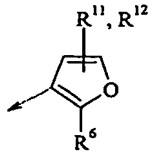

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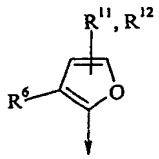

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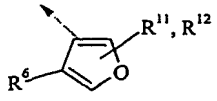

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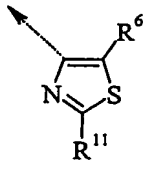
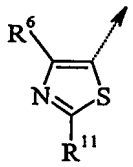


(A8)



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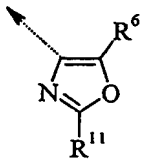

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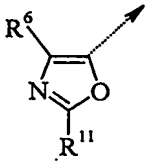

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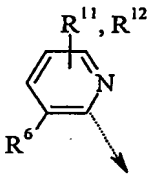

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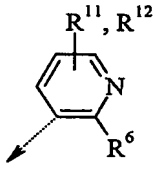

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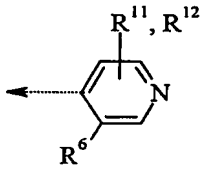

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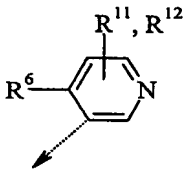

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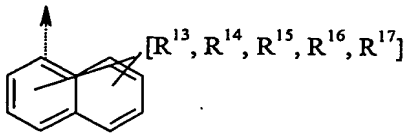

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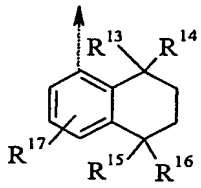

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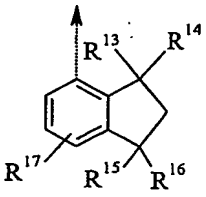

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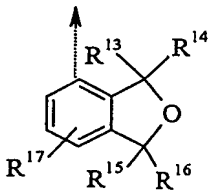

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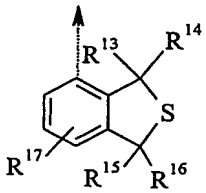

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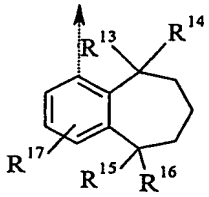
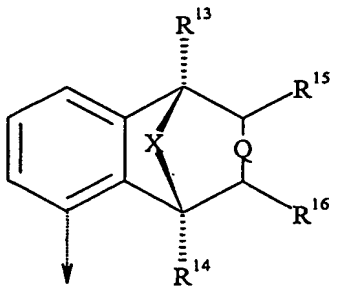


(A21)



(A22)

Q is a single or a double bond; X is O, N(R^{18}), S or ($CR^{19}R^{20}$)($CR^{21}R^{22}$)$_m$($CR^{23}R^{24}$)$_n$; R^1 is halogen, cyano, nitro, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy or optionally substituted C_{2-4} alkenyl, optionally substituted C_{2-4} alkynyl or optionally substituted $SO_2(C_{1-4})$alkyl (where the optionally substituted moieties may each have up to 3 substituents, each independently selected from halogen and C_{1-4} alkoxy); R^2 is C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy(C_{1-4})alkyl or C_{1-4} alkylthio(C_{1-4})alkyl or [optionally substituted aryl](C_{1-4})alkyl- or [optionally substituted aryl]oxy(C_{1-4})alkyl- (where the optionally substituted aryl moieties may each have up to 3 substituents, each independently selected from halogen and C_{1-4} alkoxy); R^3 is hydrogen, $CH_2C{\equiv}CR^4$, $CH_2CR^4{=}C(H)R^4$, $CH{=}C{=}CH_2$ or COR^5 or optionally substituted C_{1-4} alkyl, optionally substituted C_{1-4} alkoxy or optionally substituted (C_{1-4}) alkylC(=O)O (where the optionally substituted moieties may each have up to 3 substituents, each independently selected from halogen, C_{1-4} alkoxy, C_{1-4} alkyl, C_{1-2} haloalkoxy, hydroxy, cyano, carboxyl, methoxycarbonyl, ethoxycarbonyl, methylsulfonyl and ethylsulfonyl); each R^4 is, independently, hydrogen, halogen, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy or C_{1-4} alkoxy(C_{1-4})alkyl; R^5 is hydrogen or optionally substituted C_{1-6} alkyl, optionally substituted C_{1-4} alkoxy, optionally substituted C_{1-4} alkoxy(C_{1-4})alkyl, optionally substituted C_{1-4} alkylthio(C_{1-4})alkyl or optionally substituted aryl (where the optionally substituted moieties may each have up to 3 substituents, each independently selected from halogen, C_{1-6} alkoxy, C_{1-6} haloalkoxy, cyano, hydroxy, methoxycarbonyl and ethoxycarbonyl); R^6 is phenyl [optionally substituted by up to 3 substituents, each independently selected from halogen, cyano, nitro, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} haloalkylthio, C(H)=N-OH, C(H)=N-O(C_{1-6} alkyl), C(C_{1-6} alkyl)=N-OH, C(C_{1-6} alkyl)=N-O-(C_{1-6} alkyl), $(Z)_pC{\equiv}CR^{25}$ and $(Z)_pCR^{28}{=}CR^{26}R^{27}$], a 5-6 membered heterocyclic ring [in which the ring contains 1 to 3 heteroatoms (each independently chosen from oxygen, sulphur and

nitrogen) and the ring is optionally substituted by up to 3 substituents, each independently selected from halogen, cyano, nitro, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C(H)=N-O-(C_{1-6} alkyl) and C(C_{1-6} alkyl)=N-O-(C_{1-6} alkyl)], C_{3-12} alkyl [optionally substituted by up to 6 substituents, each independently selected from halogen, cyano, C_{1-4} alkoxy, C_{1-4} thioalkyl, COO-C_{1-4} alkyl, =N-OH, =N-O-(C_{1-4} alkyl), C_{3-8} cycloalkyl (itself optionally substituted by up to 3 substituents, each independently selected from C_{1-4} alkyl, halogen, C_{1-4} alkoxy and C_{1-4} haloalkoxy) and C_{4-8} cycloalkenyl (itself optionally substituted by up to 3 substituents, each independently selected from C_{1-4} alkyl, halogen, C_{1-4} alkoxy and C_{1-4} haloalkoxy)], C_{2-12} alkenyl [optionally substituted by up to 6 substituents, each independently selected from halogen, cyano, C_{1-4} alkoxy, C_{1-4} thioalkyl, COO-(C_{1-4} alkyl), =N-OH, =N-O-(C_{1-4} alkyl), C_{3-8} cycloalkyl (itself optionally substituted by up to 3 substituents, each independently selected from C_{1-4} alkyl, halogen, C_{1-4} alkoxy and C_{1-4} haloalkoxy) and C_{4-8} cycloalkenyl (itself optionally substituted by up to 3 substituents, each independently selected from C_{1-4} alkyl, halogen, C_{1-4} alkoxy and C_{1-4} haloalkoxy)], C_{2-12} alkynyl [optionally substituted by up to 6 substituents, each independently selected from halogen, cyano, C_{1-4} alkoxy, C_{1-4} thioalkyl, COO-C_{1-4} alkyl, =N-OH, =N-O-(C_{1-4} alkyl), C_{3-8} cycloalkyl (itself optionally substituted by up to 3 substituents, each independently selected from C_{1-4} alkyl, halogen, C_{1-4} alkoxy and C_{1-4} haloalkoxy), $Si(CH_3)_3$ and C_{4-8} cycloalkenyl (itself optionally substituted by up to 3 substituents, each independently selected from C_{1-4} alkyl, halogen, C_{1-4} alkoxy and C_{1-4} haloalkoxy)], C_{3-8} cycloalkyl [optionally substituted by up to 3 substituents, each independently selected from halogen, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} thioalkyl, C_{3-6} cycloalkyl (itself optionally substituted by up to 3 substituents, each independently selected from C_{1-4} alkyl, halogen, C_{1-4} alkoxy and C_{1-4} haloalkoxy) and phenyl (itself optionally substituted by up to five independently selected halogen atoms)], C_{4-8} cycloalkenyl [optionally substituted by up to 3 substituents, each independently selected from halogen, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} thioalkyl, C_{3-6} cycloalkyl (itself optionally substituted by up to 3 substituents, each independently selected from C_{1-4} alkyl, halogen, C_{1-4} alkoxy and C_{1-4} haloalkoxy) and phenyl (itself optionally substituted by up to five independently selected halogen atoms)], C_{6-12} bicycloalkyl [optionally substituted by up to 3 substituents, each independently selected from halogen, C_{1-4} alkyl and C_{1-4} haloalkyl] or an aliphatic,

saturated or unsaturated group [in which the group contains three to thirteen carbon atoms and at least one silicon atom and, optionally, one to three heteroatoms, each independently selected from oxygen, nitrogen and sulphur, and the group is optionally substituted by up to four independently selected halogen atoms]; R^7, R^8, R^9, R^{10}, R^{11} and R^{12} are each, independently, hydrogen, halogen, cyano, nitro, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} thioalkyl or C_{1-4} thiohaloalkyl; R^{13}, R^{14}, R^{15}, R^{16} and R^{17} are each, independently, hydrogen, halogen, C_{1-4} alkyl, $C(O)CH_3$, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} thioalkyl, C_{1-4} thiohaloalkyl, hydroxymethyl or C_{1-4} alkoxymethyl; R^{18} is hydrogen, C_{1-4} alkyl, C_{1-4} alkoxy(C_{1-4})alkyl, formyl, $C(=O)C_{1-4}$ alkyl (optionally substituted by halogen or C_{1-4}-alkoxy) or $C(=O)O$-C_{1-6} alkyl (optionally substituted by halogen, C_{1-4} alkoxy or CN); R^{19}, R^{20}, R^{21}, R^{22}, R^{23} and R^{24} are each, independently, C_{1-6} alkyl, C_{1-6} alkenyl [both optionally substituted by halogen, hydroxy, =O, C_{1-4} alkoxy, O-C(O)-C_{1-4} alkyl, aryl or a 3-7 membered carbocyclic ring (itself optionally substituted by up to three methyl groups)], a 3-7 membered carbocyclic ring (optionally substituted by up to three methyl groups and optionally containing one heteroatom selected from nitrogen and oxygen), hydrogen, halogen, hydroxy or C_{1-4} alkoxy; or $R^{19}R^{20}$ together with the carbon atom to which they are attached form a carbonyl-group, a 3-5 membered carbocyclic ring (optionally substituted by up to three methyl groups), C_{1-6} alkylidene (optionally substituted by up to three methyl groups) or C_{3-6} cycloalkylidene (optionally substituted by up to three methyl groups); R^{25} is hydrogen, halogen, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy(C_{1-4})alkyl, C_{1-4} haloalkoxy(C_{1-4})alkyl or $Si(C_{1-4}$ alkyl$)_3$; R^{26} and R^{27} are each, independently, hydrogen, halogen, C_{1-4} alkyl or C_{1-4} haloalkyl; R^{28} is hydrogen, C_{1-4} alkyl or C_{1-4} haloalkyl; m is 0 or 1; n is 0 or 1; p is 0 or 1; and Z is C_{1-4} alkylene.

Halogen is fluoro, chloro, bromo or iodo.

Each alkyl moiety is a straight or branched chain and is, for example, methyl, ethyl, *n*-propyl, *n*-butyl, *n*-pentyl, *n*-hexyl, *iso*-propyl, *sec*-butyl, *iso*-butyl, *tert*-butyl, *neo*-pentyl, *n*-heptyl, 1,3-dimethylbutyl, 1,3-dimethylpentyl, 1-methyl-3-ethyl-butyl or 1,3,3-trimethylbutyl.

Haloalkyl moieties are alkyl moieties which are substituted by one or more of the same or different halogen atoms and are, for example, CF_3, CF_2Cl, CHF_2, CH_2F, CCl_3, CF_3CH_2, CHF_2CH_2, CH_2FCH_2, CH_3CHF or CH_3CF_2.

Alkenyl and alkynyl moieties can be in the form of straight or branched chains. The alkenyl moieties, where appropriate, can be of either the (E)- or (Z)-configuration. Examples are vinyl, allyl, ethynyl and propargyl.

Alkylidene moieties can be in the form of straight or branched chains. Alkylidene includes methylidene [CH_2=C], ethylidene [$CH_3C(H)$=C], *n*-propylidene, *i*-propylidene [$(CH_3)_2C$=C], *n*-butylidene, *i*-butylidene, 2-butylidene, *n*-pentylidene, *i*-pentylidene, *neo*-pentylidene, 2-pentylidene, *n*-hexylidene, 2-hexylidene, 3-hexylidene, *i*-hexylidene and *neo*-hexylidene.

Cycloalkyl includes cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl and cyclooctyl.

Cycloalkenyl includes cyclobutenyl, cyclopentenyl, cyclohexenyl and cycloheptenyl.

Cycloalkylidene includes cyclopropylidene [$c(C_3H_4)$=C], cyclobutylidene, cyclopentylidene and cyclohexylidene.

Bicycloalkyl includes bicyclo[1,1,1]pentyl, bicyclo[2,1,1]hexyl, bicyclo[2,2,1]heptyl, bicyclo[2,2,2]octyl, bicyclo[3,2,1]octyl and bicyclo[3,2,2]nonyl.

Aryl includes phenyl, naphthyl, anthracyl, fluorenyl and indanyl but is preferably phenyl.

In one aspect of the invention, A is as defined above provided that it is not (A1).

In another aspect of the invention, R^6 is as defined above provided that it is not an aliphatic, saturated or unsaturated group [in which the group contains three to thirteen carbon atoms and at least one silicon atom and, optionally, one to three heteroatoms, each independently selected from oxygen, nitrogen and sulphur, and the group is optionally substituted by up to four independently selected halogen atoms].

In a further aspect of the invention, A is as defined above provided that it is not (A1) when R^6 is an aliphatic, saturated or unsaturated group [in which the group contains three to thirteen carbon atoms and at least one silicon atom and, optionally, one to three heteroatoms, each independently selected from oxygen, nitrogen and sulphur, and the group is optionally substituted by up to four independently selected halogen atoms].

Preferably Q is a single bond.

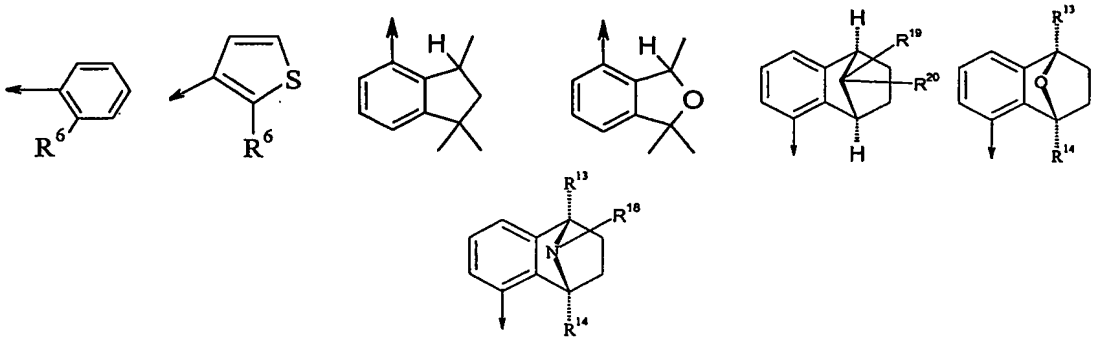
Preferably n is 0.

Preferably m is 0.

Preferably A is selected from formulae (A1), (A2), (A3), (A16), (A17), (A18), (A19), (A20) and (A22).

More preferably A is selected from formulae (A1), (A2), (A18), (A19) and (A22).

Even more preferably A is selected from one of the following ortho-substituted rings:



where R^{13} and R^{14} are each, independently, selected from H and C_{1-4} alkyl.

Preferably X is O, NR^{18} or $(CR^{19}R^{20})(CR^{21}R^{22})_m(CR^{23}R^{24})_n$.

More preferably X is O or $(CR^{19}R^{20})(CR^{21}R^{22})_m(CR^{23}R^{24})_n$.

Even more preferably X is $(CR^{19}R^{20})(CR^{21}R^{22})_m(CR^{23}R^{24})_n$.

Most preferably X is $(CR^{19}R^{20})$.

Preferably R^1 is C_{1-4} alkyl, C_{1-4} haloalkyl, NO_2, CN or OCF_3.

More preferably R^1 is CHF_2, CF_3, CH_2F, CF_2Cl, CH_3 or C_2H_5.

Even more preferably R^1 is CHF_2, CF_3, CH_2F, CF_2Cl or CH_3.

Most preferably R^1 is CHF_2, CF_3 or CH_2F.

Preferably R^2 is C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy(C_{1-4})alkyl or C_{1-4} alkylthio(C_{1-4})alkyl.

More preferably R^2 is CH_3, CF_3, C_2H_5, CH_2OCH_3 or CH_2SCH_3.

Even more preferably R^2 is CH_3 or C_2H_5.

Most preferably R^2 is CH_3.

Preferably R^3 is hydrogen, $CH_2C{\equiv}CR^4$, $CH_2CR^4{=}C(H)R^4$, $CH{=}C{=}CH_2$ or COR^5.

More preferably R^3 is H, $CH_2C{\equiv}CH$, $CH{=}C{=}CH_2$, $CH_2CH{=}CH_2$ or $COCH_3$.

Still more preferably R^3 is H, $CH_2C{\equiv}CH$, $CH{=}C{=}CH_2$ or $CH_2CH{=}CH_2$.

Even more preferably R^3 is H, $CH_2C{\equiv}CH$ or $CH{=}C{=}CH_2$.

Most preferably R^3 is H.

Preferably each R^4 is, independently, H, halogen, C_{1-4} alkyl or C_{1-4} alkoxy.

More preferably each R^4 is, independently, H, Cl, Br, CH_3 or CH_3O.

Still more preferably each R^4 is, independently, H, Cl or CH_3.

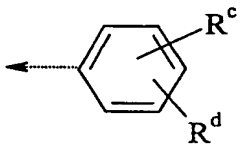
Most preferably each R^4 is H.

Preferably R^5 is H, C_{1-6} alkyl, C_{1-4} alkoxy or C_{1-4} alkoxy(C_{1-4})alkyl.

More preferably R^5 is H, methyl, $OC(CH_3)_3$ or CH_2OCH_3.

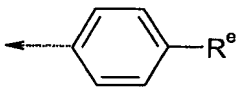
Even more preferably R^5 is H or methyl.

Preferably R^6 is chosen from C_{3-10} alkyl, C_{3-9} haloalkyl, C_{3-7} cycloalkyl [optionally substituted by C_3 cycloalkyl (itself optionally substituted by C_{1-2} alkyl) or by up to two C_{1-4} alkyl groups], an aliphatic group [which contains three to ten carbon atoms and at least one silicon atom and, optionally, one oxygen atom], thienyl [optionally substituted by halo], furyl [optionally substituted by halo], pyridyl [optionally substituted by halo], oxazolyl, isoxazolyl and



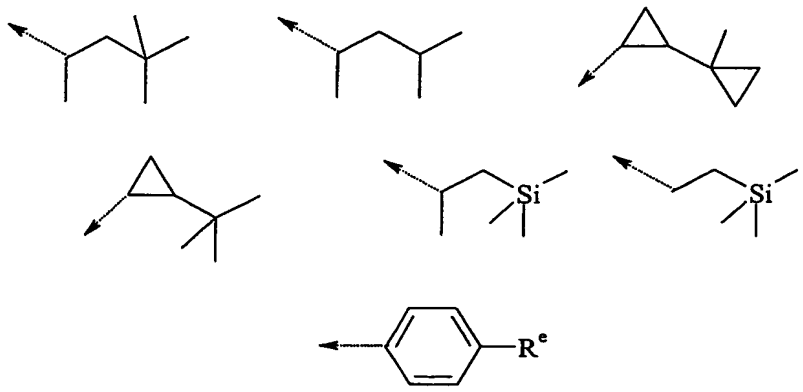
where R^c and R^d are, independently, H, Cl, Br, F, I, CN, NO_2, C_{1-4} alkyl, CF_3, SCF_3, OCF_3, CH=NOH, CH=N-OC_{1-6} alkyl, C≡CH, C≡C-$Si(CH_3)_3$, C(H)=CH_2 or C(H)=CH(C_{1-4} alkyl).

More preferably R^6 is C_{3-7} alkyl, C_{3-6} cycloalkyl [optionally substituted by C_{1-4} alkyl or a C_3 cycloalkyl (itself optionally substituted by C_{1-2} alkyl)], an aliphatic group (which contains three to eight carbon atoms and at least one silicon atom) or



where R^e is Cl, Br, F, CF_3, OCF_3, CH=N-OC_{1-4} alkyl, C≡CH, C≡C-$Si(CH_3)_3$ or C(H)=CH_2 [in one aspect it is preferred that R^e is Cl, Br, F, CF_3, OCF_3, CH=N-OC_{1-4} alkyl, C≡CH or C(H)=CH_2].

Even more preferably R^6 is chosen from one of the following moieties:



where R^e is Cl, Br, F, CF_3, C≡CH, C≡C-$Si(CH_3)_3$ or CH=N-OC_{1-4} alkyl [in one aspect it is preferred that R^e is Cl, Br, F, CF_3, C≡CH or CH=N-OC_{1-4} alkyl].

Preferably R^7 is H, F or CH_3.

Preferably R^8 is H.

Preferably R^9 is H.

Preferably R^{10} is H.

Preferably R^{11} is H.

Preferably R^{12} is H.

Preferably R^{13}, R^{14}, R^{15}, R^{16} are each, independently, H, CH_3, C_2H_5, CF_3, CH_3O, $C(O)CH_3$ or CH_3OCH_2.

More preferably R^{13}, R^{14}, R^{15}, R^{16} are each, independently, H or CH_3.

Preferably R^{17} is H.

Preferably R^{18} is H, CH_3, C_2H_5, $C(O)OC_{1-4}$ alkyl (optionally substituted with halogen or C_{1-4} alkoxy) or COH.

More preferably R^{18} is $C(O)OC_{1-4}$ alkyl (optionally substituted with halogen or C_{1-4} alkoxy) or COH.

Even more preferably R^{18} is $C(O)OC_{1-4}$ alkyl (optionally substituted with halogen or C_{1-4} alkoxy).

Most preferably R^{18} is $C(O)OC_{1-4}$ alkyl.

Preferably R^{19} and R^{20} are each, independently, H, halogen, C_{1-5} alkyl, C_{1-3} alkoxy, CH_2O, C_{3-6} cycloalkyl, CH_2O-$C(=O)CH_3$, CH_2-C_{3-6} cycloalkyl or benzyl; or R^{19} and R^{20} together with the carbon atom to which they are attached form a carbonyl group, a 3-5 membered carbocyclic ring, C_{1-5} alkylidene or C_{3-6} cycloalkylidene.

More preferably R^{19} and R^{20} are, independently, H, CH_3, C_2H_5, *n*-C_3H_7, *i*-C_3H_7, *i*-C_4H_9, $CH(C_2H_5)_2$, CH_2-cyclopropyl or cyclopentyl; or R^{19} and R^{20} together with the carbon atom to which they are attached form a 3-membered carbocyclic ring.

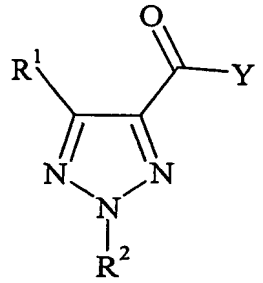
Preferably R^{21} is H or CH_3.

Preferably R^{22} is H or CH_3.

Preferably R^{23} is H or CH_3.

Preferably R^{24} is H or CH_3.

Compounds of formula (II):



(II)

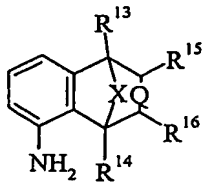
where R^1 and R^2 are as defined above for a compound of formula (I) and Y is halogen, hydroxy or C_{1-5} alkoxy, are useful as intermediates in the preparation of compounds of formula (I).

Some compounds of formula (II) are already known in the literature [B.Iddon et al. *J.Chem. Soc. PerkinTrans. 1*, 1341 (1996); M.Begtrup et al., *Acta Chemica Scand., 19*, 2022 (1965); D.R.Buckle et al., *J.Chem.Res, Syn. 10*, 292 (1982); and A.Peratoner et al., *Sci.Fis.Mat.Nat.Rend 5*, 16 (1907)] but others are novel.

Therefore, in another aspect the present invention provides a compound of formula (II) where R^1 and R^2 are as defined above for a compound of formula (I) and Y is halogen, hydroxy or C_{1-5} alkoxy; provided that when R^1 is chloro and R^2 is 4-CH_3O-C_6H_4-CH_2-, Y is not C_2H_5O; when R^1 is CH_3O and R^2 is CH_3, Y is not C_2H_5O; when R^1 is bromo and R^2 is CH_3OCH_2, Y is not CH_3O; and when R^1 is CH_3 and R^2 is C_2H_5, Y is not OH.

Preferably Y is hydroxy, chloro, fluoro or C_{1-3} alkoxy.

Some compounds of formula (IIIa) are also novel but some are described in the literature [see, for example, L. A Paquette et al., *J. Amer. Chem Soc. 99*, 3734 (1977); H. Plieninger et al., *Chem. Ber. 109*, 2121 (1976); Kasansski et al., Zh. Obshch.Khim. (1959), 29, 2588; and A. J. Kirby et al., *J. Chem. Soc., Perkin Trans. 2*, 1997, 1081].



(IIIa)

Anilines of formula (IIIa) are novel when R^{13}, R^{14}, R^{15}, R^{16}, Q and X are as defined above for a compound of formula (I); provided that when R^{13}, R^{14}, R^{15} and R^{16} are each H then X is not CH_2 when Q is a double bond and X is not CH_2CH_2 when Q is a single bond or a double bond; and when R^{13} is CH_3, R^{14} is OCH_3 and R^{15} and R^{16} are both H then X is not CH_2CH_2 when Q is a single bond.

Therefore, in a further aspect, the present invention provides a compound of formula (IIIa) where R^{13}, R^{14}, R^{15}, R^{16}, Q and X are as defined above for a compound of formula (I); provided that when R^{13}, R^{14}, R^{15} and R^{16} are each H then X is not CH_2 when Q is a double bond and X is not CH_2CH_2 when Q is a single bond or a double bond; and when R^{13} is CH_3, R^{14} is OCH_3 and R^{15} and R^{16} are both H then X is not CH_2CH_2 when Q is a single bond.

The compounds of formula (I), (II) and (IIIa) may exist as different geometric or optical isomers or in different tautomeric forms. This invention covers, for each formula, all such isomers and tautomers and mixtures thereof in all proportions as well as isotopic forms such as deuterated compounds.

The compounds in Tables 1 to 28 below illustrate compounds of the invention.

Table 1 provides 59 compounds of formula (II) wherein R^1, R^2 and Y are as defined in Table 1.

Table 1

Compound Number	R^1	R^2	Y
1.01	CHF_2	CH_3	OH
1.02	CHF_2	CH_3	Cl
1.03	CHF_2	CH_3	OCH_3
1.04	CHF_2	CH_3	OC_2H_5
1.05	CHF_2	CH_3	$OC_3H_7(n)$
1.06	CHF_2	CH_3	$OC_3H_7(i)$
1.07	CHF_2	C_2H_5	OH
1.08	CHF_2	C_2H_5	Cl
1.09	CHF_2	C_2H_5	OCH_3
1.10	CHF_2	C_2H_5	OC_2H_5
1.11	CHF_2	C_2H_5	$OC_3H_7(n)$

1.12	CHF_2	C_2H_5	$OC_3H_7(i)$
1.13	CF_3	CH_3	OH
1.14	CF_3	CH_3	Cl
1.15	CF_3	CH_3	OCH_3
1.16	CF_3	CH_3	OC_2H_5
1.17	CF_3	CH_3	$OC_3H_7(n)$
1.18	CF_3	CH_3	$OC_3H_7(i)$
1.19	CF_3	C_2H_5	OH
1.20	CF_3	C_2H_5	Cl
1.21	CF_3	C_2H_5	OCH_3
1.22	CF_3	C_2H_5	OC_2H_5
1.23	CF_3	C_2H_5	$OC_3H_7(n)$
1.24	CF_3	C_2H_5	$OC_3H_7(i)$
1.25	CF_3	CH_2OCH_3	OH
1.26	CF_3	CH_2OCH_3	Cl
1.27	CF_3	CH_2OCH_3	OCH_3
1.28	CF_3	CH_2OCH_3	OC_2H_5
1.29	CF_3	CH_2OCH_3	$OC_3H_7(n)$
1.30	CF_3	CH_2OCH_3	$OC_3H_7(i)$
1.31	CF_3	CH_3	F
1.32	CHF_2	CH_3	F
1.33	CHF_2	CH_2OCH_3	OH
1.34	CHF_2	CH_2OCH_3	OCH_3
1.35	CHF_2	CH_2OCH_3	OC_2H_5
1.36	CF_3	CH_2SCH_3	OH
1.37	CF_3	CH_2SCH_3	OCH_3
1.38	CN	CH_3	OCH_3
1.39	OCF_3	CH_3	OCH_3
1.40	NO_2	CH_3	OCH_3
1.41	CH_3	CH_3	OH
1.42	CH_3	CH_3	OCH_3
1.43	CH_3	CH_3	Cl
1.44	CH_3	C_2H_5	OH
1.45	C_2F_5	CH_3	OCH_3
1.46	CF_3	CF_3	OCH_3
1.47	CH_3	CF_3	OCH_3
1.48	CH_2F	CH_3	OH
1.49	CH_2F	CH_3	Cl
1.50	CH_2F	CH_3	OCH_3
1.51	CH_2F	CH_3	OC_2H_5
1.52	CH_2F	CH_3	$OC_3H_7(n)$
1.53	CH_2F	CH_3	$OC_3H_7(i)$
1.54	CH_2F	C_2H_5	OH
1.55	CH_2F	C_2H_5	Cl
1.56	CH_2F	C_2H_5	OCH_3
1.57	CH_2F	C_2H_5	OC_2H_5

1.58	CH_2F	C_2H_5	$OC_3H_7(n)$
1.59	CH_2F	C_2H_5	$OC_3H_7(i)$

Table X represents Table 2 [when X is 2], Table 3 [when X is 3], Table 4 [when X is 4], Table 5 [when X is 5], Table 6 [when X is 6] and represents Table 7 [when X is 7].

Table X

Cmpd. No.	R^2	R^3	R^6	R^7
X.001	CH_3	H	phenyl	H
X.002	CH_3	$CH_2C\equiv CH$	phenyl	H
X.003	CH_3	H	2'-fluorophenyl	H
X.004	CH_3	H	3'-fluorophenyl	H
X.005	CH_3	H	4'-fluorophenyl	H
X.006	C_2H_5	H	4'-fluorophenyl	H
X.007	CH_2OCH_3	H	4'-fluorophenyl	H
X.008	CH_3	$COCH_3$	4'-fluorophenyl	H
X.009	CH_3	$COCH_2OCH_3$	4'-fluorophenyl	H
X.010	CH_3	$CH_2C\equiv CH$	4'-fluorophenyl	H
X.011	CH_3	$CH=C=CH_2$	4'-fluorophenyl	H
X.012	CH_3	COO-*tert*-Bu	4'-fluorophenyl	H
X.013	CH_3	H	4'-fluorophenyl	F
X.014	CH_3	H	4'-fluorophenyl	CH_3
X.015	CH_3	H	2'-chlorophenyl	H
X.016	CH_3	H	3'-chlorophenyl	H
X.017	CH_3	H	4'-chlorophenyl	H
X.018	C_2H_5	H	4'-chlorophenyl	H
X.019	CH_2OCH_3	H	4'-chlorophenyl	H
X.020	CH_3	$COCH_3$	4'-chlorophenyl	H
X.021	CH_3	$COCH_2OCH_3$	4'-chlorophenyl	H
X.022	CH_3	$CH_2C\equiv CH$	4'-chlorophenyl	H
X.023	CH_3	$CH=C=CH_2$	4'-chlorophenyl	H
X.024	CH_3	COO-*tert*-Bu	4'-chlorophenyl	H
X.025	CH_3	H	4'-chlorophenyl	F
X.026	CH_3	H	4'-chlorophenyl	CH_3
X.027	CH_3	H	2'-bromophenyl	H
X.028	CH_3	H	3'-bromophenyl	H
X.029	CH_3	H	4'-bromophenyl	H
X.030	C_2H_5	H	4'-bromophenyl	H
X.031	CH_2OCH_3	H	4'-bromophenyl	H
X.032	CH_3	$COCH_3$	4'-bromophenyl	H
X.033	CH_3	$COCH_2OCH_3$	4'-bromophenyl	H
X.034	CH_3	$CH_2C\equiv CH$	4'-bromophenyl	H
X.035	CH_3	$CH=C=CH_2$	4'-bromophenyl	H
X.036	CH_3	COO-*tert*-Bu	4'-bromophenyl	H
X.037	CH_3	H	4'-bromophenyl	F
X.038	CH_3	H	4'-bromophenyl	CH_3
X.039	CH_3	H	2'-iodophenyl	H
X.040	CH_3	H	3'-iodophenyl	H
X.041	CH_3	H	4'-iodophenyl	H
X.042	CH_3	H	2'-CF_3-phenyl	H
X.043	CH_3	H	3'-CF_3-phenyl	H

X.044	CH_3	H	4'-CF_3-phenyl	H
X.045	C_2H_5	H	4'-CF_3-phenyl	H
X.046	CH_2OCH_3	H	4'-CF_3-phenyl	H
X.047	CH_3	$COCH_3$	4'-CF_3-phenyl	H
X.048	CH_3	$COCH_2OCH_3$	4'-CF_3-phenyl	H
X.049	CH_3	$CH_2C\equiv CH$	4'-CF_3-phenyl	H
X.050	CH_3	COO-*tert*-Bu	4'-CF_3-phenyl	H
X.051	CH_3	H	2'-OCF_3-phenyl	H
X.052	CH_3	H	3'-OCF_3-phenyl	H
X.053	CH_3	H	4'-OCF_3-phenyl	H
X.054	C_2H_5	H	4'-OCF_3-phenyl	H
X.055	CH_2OCH_3	H	4'-OCF_3-phenyl	H
X.056	CH_3	$COCH_3$	4'-OCF_3-phenyl	H
X.057	CH_3	$COCH_2OCH_3$	4'-OCF_3-phenyl	H
X.058	CH_3	$CH_2C\equiv CH$	4'-OCF_3-phenyl	H
X.059	CH_3	COO-*tert*-Bu	4'-OCF_3-phenyl	H
X.060	CH_3	$CH=C=CH_2$	4'-OCF_3-phenyl	H
X.061	CH_3	H	4'-SCF_3-phenyl	H
X.062	CH_3	H	2'-CH=NOH-phenyl	H
X.063	CH_3	H	3'-CH=NOH-phenyl	H
X.064	CH_3	H	4'-CH=NOH-phenyl	H
X.065	CH_3	H	2'-CH=$NOCH_3$-phenyl	H
X.066	CH_3	H	3'-CH=$NOCH_3$-phenyl	H
X.067	CH_3	H	4'-CH=$NOCH_3$-phenyl	H
X.068	CH_3	H	2'-CH=NOC_2H_5-phenyl	H
X.069	CH_3	H	3'-CH=NOC_2H_5-phenyl	H
X.070	CH_3	H	4'-CH=NOC_2H_5-phenyl	H
X.071	CH_3	H	2'-CN-phenyl	H
X.072	CH_3	H	3'-CN-phenyl	H
X.073	CH_3	H	4'-CN-phenyl	H
X.074	CH_3	H	2'-NO_2-phenyl	H
X.075	CH_3	H	3'-NO_2-phenyl	H
X.076	CH_3	H	4'-NO_2-phenyl	H
X.077	CH_3	H	3',4'-difluorophenyl	H
X.078	C_2H_5	H	3',4'-difluorophenyl	H
X.079	CH_2OCH_3	H	3',4'-difluorophenyl	H
X.080	CH_3	$COCH_3$	3',4'-difluorophenyl	H
X.081	CH_3	$COCH_2OCH_3$	3',4'-difluorophenyl	H
X.082	CH_3	$CH_2C\equiv CH$	3',4'-difluorophenyl	H
X.083	CH_3	COO-*tert*-Bu	3',4'-difluorophenyl	H
X.084	CH_3	$CH=C=CH_2$	3',4'-difluorophenyl	H
X.085	CH_3	H	3',4'-difluorophenyl	F
X.086	CH_3	H	3',4'-difluorophenyl	CH_3
X.087	CH_3	H	3',4'-dichlorophenyl	H
X.088	C_2H_5	H	3',4'-dichlorophenyl	H
X.089	CH_2OCH_3	H	3',4'-dichlorophenyl	H
X.090	CH_3	$COCH_3$	3',4'-dichlorophenyl	H
X.091	CH_3	$COCH_2OCH_3$	3',4'-dichlorophenyl	H
X.092	CH_3	$CH_2C\equiv CH$	3',4'-dichlorophenyl	H
X.093	CH_3	COO-*tert*-Bu	3',4'-dichlorophenyl	H
X.094	CH_3	$CH=C=CH_2$	3',4'-dichlorophenyl	H
X.095	CH_3	H	3',4'-dichlorophenyl	F
X.096	CH_3	H	3',4'-dichlorophenyl	CH_3
X.097	CH_3	H	4'-chloro-3'-fluoro-phenyl	H
X.098	C_2H_5	H	4'-chloro-3'-fluoro-phenyl	H

X.099	CH_2OCH_3	H	4'-chloro-3'-fluoro-phenyl	H
X.100	CH_3	$COCH_3$	4'-chloro-3'-fluoro-phenyl	H
X.101	CH_3	$COCH_2OCH_3$	4'-chloro-3'-fluoro-phenyl	H
X.102	CH_3	$CH_2C\equiv CH$	4'-chloro-3'-fluoro-phenyl	H
X.103	CH_3	COO-*tert*-Bu	4'-chloro-3'-fluoro-phenyl	H
X.104	CH_3	$CH=C=CH_2$	4'-chloro-3'-fluoro-phenyl	H
X.105	CH_3	H	4'-chloro-3'-fluoro-phenyl	F
X.106	CH_3	H	4'-chloro-3'-fluoro-phenyl	CH_3
X.107	CH_3	H	3'-chloro-4'-fluoro-phenyl	H
X.108	C_2H_5	H	3'-chloro-4'-fluoro-phenyl	H
X.109	CH_2OCH_3	H	3'-chloro-4'-fluoro-phenyl	H
X.110	CH_3	$COCH_3$	3'-chloro-4'-fluoro-phenyl	H
X.111	CH_3	$COCH_2OCH_3$	3'-chloro-4'-fluoro-phenyl	H
X.112	CH_3	$CH_2C\equiv CH$	3'-chloro-4'-fluoro-phenyl	H
X.113	CH_3	COO-*tert*-Bu	3'-chloro-4'-fluoro-phenyl	H
X.114	CH_3	$CH=C=CH_2$	3'-chloro-4'-fluoro-phenyl	H
X.115	CH_3	H	3'-chloro-4'-fluoro-phenyl	F
X.116	CH_3	H	3'-chloro-4'-fluoro-phenyl	CH_3
X.117	CH_3	H	2'-4'-dichloro-phenyl	H
X.118	CH_2OCH_3	H	2'-4'-dichloro-phenyl	H
X.119	CH_3	H	2'-4'-difluoro-phenyl	H
X.120	CH_2OCH_3	H	2'-4'-difluoro-phenyl	H
X.121	CH_3	H	$CH_2CH_2CH_3$	H
X.122	C_2H_5	H	$CH_2CH_2CH_3$	H
X.123	CH_2OCH_3	H	$CH_2CH_2CH_3$	H
X.124	CH_3	$CH_2C\equiv CH$	$CH_2CH_2CH_3$	H
X.125	CH_3	H	$CH_2CH_2CH_2CH_3$	H
X.126	C_2H_5	H	$CH_2CH_2CH_2CH_3$	H
X.127	CH_2OCH_3	H	$CH_2CH_2CH_2CH_3$	H
X.128	CH_3	$CH_2C\equiv CH$	$CH_2CH_2CH_2CH_3$	H
X.129	CH_3	H	$CH_2CH_2CH_2CH_3$	F
X.130	CH_3	H	$CH_2CH_2CH_2CH_3$	CH_3
X.131	CH_3	H	$CH_2CH_2CH_2(C_2H_5)$	H
X.132	C_2H_5	H	$CH_2CH_2CH_2(C_2H_5)$	H
X.133	CH_2OCH_3	H	$CH_2CH_2CH_2(C_2H_5)$	H
X.134	CH_3	$CH_2C\equiv CH$	$CH_2CH_2CH_2(C_2H_5)$	H
X.135	CH_3	H	$CH_2CH_2CH_2(C_2H_5)$	F
X.136	CH_3	H	$CH_2CH_2CH_2(C_2H_5)$	CH_3
X.137	CH_3	H	$CH_2CH_2CH(CH_3)_2$	H
X.138	C_2H_5	H	$CH_2CH_2CH(CH_3)_2$	H
X.139	CH_2OCH_3	H	$CH_2CH_2CH(CH_3)_2$	H
X.140	CH_3	$COCH_3$	$CH_2CH_2CH(CH_3)_2$	H
X.141	CH_3	$COCH_2OCH_3$	$CH_2CH_2CH(CH_3)_2$	H
X.142	CH_3	$CH_2C\equiv CH$	$CH_2CH_2CH(CH_3)_2$	H
X.143	CH_3	COO-*tert*-Bu	$CH_2CH_2CH(CH_3)_2$	H
X.144	CH_3	$CH=C=CH_2$	$CH_2CH_2CH(CH_3)_2$	H
X.145	CH_3	H	$CH_2CH_2CH(CH_3)_2$	F
X.146	CH_3	H	$CH_2CH_2CH(CH_3)_2$	CH_3
X.147	CH_3	H	$CH_2CH_2CH(CH_3)(C_2H_5)$	H
X.148	C_2H_5	H	$CH_2CH_2CH(CH_3)(C_2H_5)$	H
X.149	CH_2OCH_3	H	$CH_2CH_2CH(CH_3)(C_2H_5)$	H
X.150	CH_3	$COCH_3$	$CH_2CH_2CH(CH_3)(C_2H_5)$	H
X.151	CH_3	$COCH_2OCH_3$	$CH_2CH_2CH(CH_3)(C_2H_5)$	H
X.152	CH_3	$CH_2C\equiv CH$	$CH_2CH_2CH(CH_3)(C_2H_5)$	H
X.153	CH_3	COO-*tert*-Bu	$CH_2CH_2CH(CH_3)(C_2H_5)$	H

X.154	CH_3	$CH=C=CH_2$	$CH_2CH_2CH(CH_3)(C_2H_5)$	H
X.155	CH_3	H	$CH_2CH_2CH(CH_3)(C_2H_5)$	F
X.156	CH_3	H	$CH_2CH_2CH(CH_3)(C_2H_5)$	CH_3
X.157	CH_3	H	$CH_2CH_2CH(C_2H_5)_2$	H
X.158	C_2H_5	H	$CH_2CH_2CH(C_2H_5)_2$	H
X.159	CH_2OCH_3	H	$CH_2CH_2CH(C_2H_5)_2$	H
X.160	CH_3	$COCH_3$	$CH_2CH_2CH(C_2H_5)_2$	H
X.161	CH_3	$COCH_2OCH_3$	$CH_2CH_2CH(C_2H_5)_2$	H
X.162	CH_3	$CH_2C\equiv CH$	$CH_2CH_2CH(C_2H_5)_2$	H
X.163	CH_3	COO-*tert*-Bu	$CH_2CH_2CH(C_2H_5)_2$	H
X.164	CH_3	$CH=C=CH_2$	$CH_2CH_2CH(C_2H_5)_2$	H
X.165	CH_3	H	$CH_2CH_2CH(C_2H_5)_2$	F
X.166	CH_3	H	$CH_2CH_2CH(C_2H_5)_2$	CH_3
X.167	CH_3	H	$CH_2CH_2C(CH_3)_3$	H
X.168	C_2H_5	H	$CH_2CH_2C(CH_3)_3$	H
X.169	CH_2OCH_3	H	$CH_2CH_2C(CH_3)_3$	H
X.170	CH_3	$COCH_3$	$CH_2CH_2C(CH_3)_3$	H
X.171	CH_3	$COCH_2OCH_3$	$CH_2CH_2C(CH_3)_3$	H
X.172	CH_3	$CH_2C\equiv CH$	$CH_2CH_2C(CH_3)_3$	H
X.173	CH_3	COO-*tert*-Bu	$CH_2CH_2C(CH_3)_3$	H
X.174	CH_3	$CH=C=CH_2$	$CH_2CH_2C(CH_3)_3$	H
X.175	CH_3	H	$CH_2CH_2C(CH_3)_3$	F
X.176	CH_3	H	$CH_2CH_2C(CH_3)_3$	CH_3
X.177	CH_3	H	$CH_2CH_2C(CH_3)_2(C_2H_5)$	H
X.178	C_2H_5	H	$CH_2CH_2C(CH_3)_2(C_2H_5)$	H
X.179	CH_2OCH_3	H	$CH_2CH_2C(CH_3)_2(C_2H_5)$	H
X.180	CH_3	$COCH_3$	$CH_2CH_2C(CH_3)_2(C_2H_5)$	H
X.181	CH_3	$COCH_2OCH_3$	$CH_2CH_2C(CH_3)_2(C_2H_5)$	H
X.182	CH_3	$CH_2C\equiv CH$	$CH_2CH_2C(CH_3)_2(C_2H_5)$	H
X.183	CH_3	COO-*tert*-Bu	$CH_2CH_2C(CH_3)_2(C_2H_5)$	H
X.184	CH_3	$CH=C=CH_2$	$CH_2CH_2C(CH_3)_2(C_2H_5)$	H
X.185	CH_3	H	$CH_2CH_2C(CH_3)_2(C_2H_5)$	F
X.186	CH_3	H	$CH_2CH_2C(CH_3)_2(C_2H_5)$	CH_3
X.187	CH_3	H	$CH_2CH_2C(CH_3)(C_2H_5)_2$	H
X.188	C_2H_5	H	$CH_2CH_2C(CH_3)(C_2H_5)_2$	H
X.189	CH_2OCH_3	H	$CH_2CH_2C(CH_3)(C_2H_5)_2$	H
X.190	CH_3	$COCH_3$	$CH_2CH_2C(CH_3)(C_2H_5)_2$	H
X.191	CH_3	$COCH_2OCH_3$	$CH_2CH_2C(CH_3)(C_2H_5)_2$	H
X.192	CH_3	$CH_2C\equiv CH$	$CH_2CH_2C(CH_3)(C_2H_5)_2$	H
X.193	CH_3	COO-*tert*-Bu	$CH_2CH_2C(CH_3)(C_2H_5)_2$	H
X.194	CH_3	$CH=C=CH_2$	$CH_2CH_2C(CH_3)(C_2H_5)_2$	H
X.195	CH_3	H	$CH_2CH_2C(CH_3)(C_2H_5)_2$	F
X.196	CH_3	H	$CH_2CH_2C(CH_3)(C_2H_5)_2$	CH_3
X.197	CH_3	H	$CH(CH_3)CH_2CH_3$	H
X.198	C_2H_5	H	$CH(CH_3)CH_2CH_3$	H
X.199	CH_2OCH_3	H	$CH(CH_3)CH_2CH_3$	H
X.200	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2CH_3$	H
X.201	CH_3	H	$CH(C_2H_5)CH_2CH_3$	H
X.202	C_2H_5	H	$CH(C_2H_5)CH_2CH_3$	H
X.203	CH_2OCH_3	H	$CH(C_2H_5)CH_2CH_3$	H
X.204	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2CH_3$	H
X.205	CH_3	H	$CH(CF_3)CH_2CH_3$	H
X.206	C_2H_5	H	$CH(CF_3)CH_2CH_3$	H
X.207	CH_2OCH_3	H	$CH(CF_3)CH_2CH_3$	H
X.208	CH_3	$CH_2C\equiv CH$	$CH(CF_3)CH_2CH_3$	H

X.209	CH_3	H	$CH(CH_3)CH_2CH_2CH_3$	H
X.210	C_2H_5	H	$CH(CH_3)CH_2CH_2CH_3$	H
X.211	CH_2OCH_3	H	$CH(CH_3)CH_2CH_2CH_3$	H
X.212	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2CH_2CH_3$	H
X.213	CH_3	H	$CH(C_2H_5)CH_2CH_2CH_3$	H
X.214	C_2H_5	H	$CH(C_2H_5)CH_2CH_2CH_3$	H
X.215	CH_2OCH_3	H	$CH(C_2H_5)CH_2CH_2CH_3$	H
X.216	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2CH_2CH_3$	H
X.217	CH_3	H	$CH(CF_3)CH_2CH_2CH_3$	H
X.218	C_2H_5	H	$CH(CF_3)CH_2CH_2CH_3$	H
X.219	CH_3	H	$CH(CH_3)CH_2CH(CH_3)_2$	H
X.220	C_2H_5	H	$CH(CH_3)CH_2CH(CH_3)_2$	H
X.221	CH_2OCH_3	H	$CH(CH_3)CH_2CH(CH_3)_2$	H
X.222	CH_3	$COCH_3$	$CH(CH_3)CH_2CH(CH_3)_2$	H
X.223	CH_3	$COCH_2OCH_3$	$CH(CH_3)CH_2CH(CH_3)_2$	H
X.224	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2CH(CH_3)_2$	H
X.225	CH_3	COO-*tert*-Bu	$CH(CH_3)CH_2CH(CH_3)_2$	H
X.226	CH_3	$CH=C=CH_2$	$CH(CH_3)CH_2CH(CH_3)_2$	H
X.227	CH_3	H	$CH(CH_3)CH_2CH(CH_3)_2$	F
X.228	CH_3	H	$CH(CH_3)CH_2CH(CH_3)_2$	CH_3
X.229	CH_3	H	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$	H
X.230	C_2H_5	H	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$	H
X.231	CH_2OCH_3	H	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$	H
X.232	CH_3	$COCH_3$	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$	H
X.233	CH_3	$COCH_2OCH_3$	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$	H
X.234	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$	H
X.235	CH_3	COO-*tert*-Bu	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$	H
X.236	CH_3	$CH=C=CH_2$	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$	H
X.237	CH_3	H	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$	F
X.238	CH_3	H	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$	CH_3
X.239	CH_3	H	$CH(CH_3)CH_2CH(C_2H_5)_2$	H
X.240	C_2H_5	H	$CH(CH_3)CH_2CH(C_2H_5)_2$	H
X.241	CH_2OCH_3	H	$CH(CH_3)CH_2CH(C_2H_5)_2$	H
X.242	CH_3	$COCH_3$	$CH(CH_3)CH_2CH(C_2H_5)_2$	H
X.243	CH_3	$COCH_2OCH_3$	$CH(CH_3)CH_2CH(C_2H_5)_2$	H
X.244	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2CH(C_2H_5)_2$	H
X.245	CH_3	COO-*tert*-Bu	$CH(CH_3)CH_2CH(C_2H_5)_2$	H
X.246	CH_3	$CH=C=CH_2$	$CH(CH_3)CH_2CH(C_2H_5)_2$	H
X.247	CH_3	H	$CH(CH_3)CH_2CH(C_2H_5)_2$	F
X.248	CH_3	H	$CH(CH_3)CH_2CH(C_2H_5)_2$	CH_3
X.249	CH_3	H	$CH(C_2H_5)CH_2CH(CH_3)_2$	H
X.250	C_2H_5	H	$CH(C_2H_5)CH_2CH(CH_3)_2$	H
X.251	CH_2OCH_3	H	$CH(C_2H_5)CH_2CH(CH_3)_2$	H
X.252	CH_3	$COCH_3$	$CH(C_2H_5)CH_2CH(CH_3)_2$	H
X.253	CH_3	$COCH_2OCH_3$	$CH(C_2H_5)CH_2CH(CH_3)_2$	H
X.254	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2CH(CH_3)_2$	H
X.255	CH_3	COO-*tert*-Bu	$CH(C_2H_5)CH_2CH(CH_3)_2$	H
X.256	CH_3	$CH=C=CH_2$	$CH(C_2H_5)CH_2CH(CH_3)_2$	H
X.257	CH_3	H	$CH(C_2H_5)CH_2CH(CH_3)_2$	F
X.258	CH_3	H	$CH(C_2H_5)CH_2CH(CH_3)_2$	CH_3
X.259	CH_3	H	$CH(C_2H_5)CH_2CH(CH_3)(C_2H_5)$	H
X.260	C_2H_5	H	$CH(C_2H_5)CH_2CH(CH_3)(C_2H_5)$	H
X.261	CH_2OCH_3	H	$CH(C_2H_5)CH_2CH(CH_3)(C_2H_5)$	H
X.262	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2CH(CH_3)(C_2H_5)$	H
X.263	CH_3	H	$CH(C_2H_5)CH_2CH(C_2H_5)_2$	H

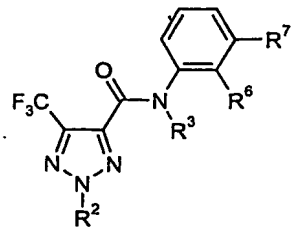
X.264	C_2H_5	H	$CH(C_2H_5)CH_2CH(C_2H_5)_2$	H
X.265	CH_2OCH_3	H	$CH(C_2H_5)CH_2CH(C_2H_5)_2$	H
X.266	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2CH(C_2H_5)_2$	H
X.267	CH_3	H	$CH(CF_3)CH_2CH(CH_3)_2$	H
X.268	C_2H_5	H	$CH(CF_3)CH_2CH(CH_3)_2$	H
X.269	CH_2OCH_3	H	$CH(CF_3)CH_2CH(CH_3)_2$	H
X.270	CH_3	$CH_2C\equiv CH$	$CH(CF_3)CH_2CH(CH_3)_2$	H
X.271	CH_3	H	$CH(CF_3)CH_2CH(CH_3)(C_2H_5)$	H
X.272	CH_3	H	$CH(CF_3)CH_2CH(C_2H_5)_2$	H
X.273	CH_3	H	$CH(CH_3)CH_2C(CH_3)_3$	H
X.274	C_2H_5	H	$CH(CH_3)CH_2C(CH_3)_3$	H
X.275	CH_2OCH_3	H	$CH(CH_3)CH_2C(CH_3)_3$	H
X.276	CH_3	$COCH_3$	$CH(CH_3)CH_2C(CH_3)_3$	H
X.277	CH_3	$COCH_2OCH_3$	$CH(CH_3)CH_2C(CH_3)_3$	H
X.278	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2C(CH_3)_3$	H
X.279	CH_3	COO-*tert*-Bu	$CH(CH_3)CH_2C(CH_3)_3$	H
X.280	CH_3	$CH=C=CH_2$	$CH(CH_3)CH_2C(CH_3)_3$	H
X.281	CH_3	H	$CH(CH_3)CH_2C(CH_3)_3$	F
X.282	CH_3	H	$CH(CH_3)CH_2C(CH_3)_3$	CH_3
X.283	CH_3	H	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$	H
X.284	C_2H_5	H	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$	H
X.285	CH_2OCH_3	H	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$	H
X.286	CH_3	$COCH_3$	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$	H
X.287	CH_3	$COCH_2OCH_3$	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$	H
X.288	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$	H
X.289	CH_3	COO-*tert*-Bu	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$	H
X.290	CH_3	$CH=C=CH_2$	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$	H
X.291	CH_3	H	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$	F
X.292	CH_3	H	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$	CH_3
X.293	CH_3	H	$CH(CH_3)CH_2C(CH_3)(C_2H_5)_2$	H
X.294	C_2H_5	H	$CH(CH_3)CH_2C(CH_3)(C_2H_5)_2$	H
X.295	CH_2OCH_3	H	$CH(CH_3)CH_2C(CH_3)(C_2H_5)_2$	H
X.296	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2C(CH_3)(C_2H_5)_2$	H
X.297	CH_3	H	$CH(C_2H_5)CH_2C(CH_3)_3$	H
X.298	C_2H_5	H	$CH(C_2H_5)CH_2C(CH_3)_3$	H
X.299	CH_2OCH_3	H	$CH(C_2H_5)CH_2C(CH_3)_3$	H
X.300	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2C(CH_3)_3$	H
X.301	CH_3	H	$CH(C_2H_5)CH_2C(CH_3)_2(C_2H_5)$	H
X.302	C_2H_5	H	$CH(C_2H_5)CH_2C(CH_3)_2(C_2H_5)$	H
X.303	CH_2OCH_3	H	$CH(C_2H_5)CH_2C(CH_3)_2(C_2H_5)$	H
X.304	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2C(CH_3)_2(C_2H_5)$	H
X.305	CH_3	H	$CH(C_2H_5)CH_2C(CH_3)(C_2H_5)_2$	H
X.306	C_2H_5	H	$CH(C_2H_5)CH_2C(CH_3)(C_2H_5)_2$	H
X.307	CH_2OCH_3	H	$CH(C_2H_5)CH_2C(CH_3)(C_2H_5)_2$	H
X.308	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2C(CH_3)(C_2H_5)_2$	H
X.309	CH_3	H	$CH(CF_3)CH_2C(CH_3)_3$	H
X.310	C_2H_5	H	$CH(CF_3)CH_2C(CH_3)_3$	H
X.311	CH_2OCH_3	H	$CH(CF_3)CH_2C(CH_3)_3$	H
X.312	CH_3	$CH_2C\equiv CH$	$CH(CF_3)CH_2C(CH_3)_3$	H
X.313	CH_3	H	$CH(CF_3)CH_2C(CH_3)_2(C_2H_5)$	H
X.314	C_2H_5	H	$CH(CF_3)CH_2C(CH_3)_2(C_2H_5)$	H
X.315	CH_2OCH_3	H	$CH(CF_3)CH_2C(CH_3)_2(C_2H_5)$	H
X.316	CH_3	$CH_2C\equiv CH$	$CH(CF_3)CH_2C(CH_3)_2(C_2H_5)$	H
X.317	CH_3	H	$CH(CF_3)CH_2C(CH_3)(C_2H_5)_2$	H
X.318	C_2H_5	H	$CH(CF_3)CH_2C(CH_3)(C_2H_5)_2$	H

X.319	CH_2OCH_3	H	$CH(CF_3)CH_2C(CH_3)(C_2H_5)_2$	H
X.320	CH_3	$CH_2C\equiv CH$	$CH(CF_3)CH_2C(CH_3)(C_2H_5)_2$	H
X.321	CH_3	H	2'-*tert*-butyl-cyclopropyl	H
X.322	C_2H_5	H	2'-*tert*-butyl-cyclopropyl	H
X.323	CH_2OCH_3	H	2'-*tert*-butyl-cyclopropyl	H
X.324	CH_3	$CH_2C\equiv CH$	2'-*tert*-butyl-cyclopropyl	H
X.325	CH_3	H	2'-isobutyl-cyclopropyl	H
X.326	C_2H_5	H	2'-isobutyl-cyclopropyl	H
X.327	CH_2OCH_3	H	2'-isobutyl-cyclopropyl	H
X.328	CH_3	$CH_2C\equiv CH$	2'-isobutyl-cyclopropyl	H
X.329	CH_3	H	4',4'-dimethyl-cyclobutyl	H
X.330	C_2H_5	H	4',4'-dimethyl-cyclobutyl	H
X.331	CH_2OCH_3	H	4',4'-dimethyl-cyclobutyl	H
X.332	CH_3	$CH_2C\equiv CH$	4',4'-dimethyl-cyclobutyl	H
X.333	CH_3	H	cyclopentyl	H
X.334	C_2H_5	H	cyclopentyl	H
X.335	CH_2OCH_3	H	cyclopentyl	H
X.336	CH_3	$CH_2C\equiv CH$	cyclopentyl	H
X.337	CH_3	H	3'-methyl-cyclopentyl	H
X.338	C_2H_5	H	3'-methyl-cyclopentyl	H
X.339	CH_2OCH_3	H	3'-methyl-cyclopentyl	H
X.340	CH_3	$CH_2C\equiv CH$	3'-methyl-cyclopentyl	H
X.341	CH_3	H	cyclohexyl	H
X.342	C_2H_5	H	cyclohexyl	H
X.343	CH_2OCH_3	H	cyclohexyl	H
X.344	CH_3	$CH_2C\equiv CH$	cyclohexyl	H
X.345	CH_3	H	3'-methyl-cyclohexyl	H
X.346	C_2H_5	H	3'-methyl-cyclohexyl	H
X.347	CH_2OCH_3	H	3'-methyl-cyclohexyl	H
X.348	CH_3	$CH_2C\equiv CH$	3'-methyl-cyclohexyl	H
X.349	CH_3	H	4'-methyl-cyclohexyl	H
X.350	C_2H_5	H	4'-methyl-cyclohexyl	H
X.351	CH_2OCH_3	H	4'-methyl-cyclohexyl	H
X.352	CH_3	$CH_2C\equiv CH$	4'-methyl-cyclohexyl	H
X.353	CH_3	H	cycloheptyl	H
X.354	C_2H_5	H	cycloheptyl	H
X.355	CH_2OCH_3	H	cycloheptyl	H
X.356	CH_3	$CH_2C\equiv CH$	cycloheptyl	H
X.357	CH_3	H	2'-thienyl	H
X.358	C_2H_5	H	2'-thienyl	H
X.359	CH_2OCH_3	H	2'-thienyl	H
X.360	CH_3	$CH_2C\equiv CH$	2'-thienyl	H
X.361	CH_3	H	3'-thienyl	H
X.362	C_2H_5	H	3'-thienyl	H
X.363	CH_2OCH_3	H	3'-thienyl	H
X.364	CH_3	$CH_2C\equiv CH$	3'-thienyl	H
X.365	CH_3	H	5'-chloro-2'-thienyl	H
X.366	C_2H_5	H	5'-chloro-2'-thienyl	H
X.367	CH_2OCH_3	H	5'-chloro-2'-thienyl	H
X.368	CH_3	$CH_2C\equiv CH$	5'-chloro-2'-thienyl	H
X.369	CH_3	H	2'-furyl	H
X.370	C_2H_5	H	2'-furyl	H
X.371	CH_2OCH_3	H	2'-furyl	H
X.372	CH_3	$CH_2C\equiv CH$	2'-furyl	H
X.373	CH_3	H	5'-chloro-2'-furyl	H

X.374	C_2H_5	H	5'-chloro-2'-furyl	H
X.375	CH_2OCH_3	H	5'-chloro-2'-furyl	H
X.376	CH_3	$CH_2C\equiv CH$	5'-chloro-2'-furyl	H
X.377	CH_3	H	2'-pyridyl	H
X.378	C_2H_5	H	2'-pyridyl	H
X.379	CH_2OCH_3	H	2'-pyridyl	H
X.380	CH_3	$CH_2C\equiv CH$	2'-pyridyl	H
X.381	CH_3	H	3'-pyridyl	H
X.382	C_2H_5	H	3'-pyridyl	H
X.383	CH_2OCH_3	H	3'-pyridyl	H
X.384	CH_3	$CH_2C\equiv CH$	3'-pyridyl	H
X.385	CH_3	H	4'-pyridyl	H
X.386	C_2H_5	H	4'-pyridyl	H
X.387	CH_2OCH_3	H	4'-pyridyl	H
X.388	CH_3	$CH_2C\equiv CH$	4'-pyridyl	H
X.389	CH_3	H	6'-chloro-3'-pyridyl	H
X.390	C_2H_5	H	6'-chloro-3'-pyridyl	H
X.391	CH_2OCH_3	H	6'-chloro-3'-pyridyl	H
X.392	CH_3	$CH_2C\equiv CH$	6'-chloro-3'-pyridyl	H
X.393	CH_3	H	6'-fluoro-3'-pyridyl	H
X.394	C_2H_5	H	6'-fluoro-3'-pyridyl	H
X.395	CH_2OCH_3	H	6'-fluoro-3'-pyridyl	H
X.396	CH_3	$CH_2C\equiv CH$	6'-fluoro-3'-pyridyl	H
X.397	CH_3	H	6'-bromo-3'-pyridyl	H
X.398	C_2H_5	H	6'-bromo-3'-pyridyl	H
X.399	CH_2OCH_3	H	6'-bromo-3'-pyridyl	H
X.400	CH_3	$CH_2C\equiv CH$	6'-bromo-3'-pyridyl	H
X.401	CH_3	H	2'-oxazolyl	H
X.402	CH_3	H	3'-isoxazolyl	H
X.403	CH_3	H	$CH(CH_3)_2$	H
X.404	C_2H_5	H	$CH(CH_3)_2$	H
X.405	CH_2OCH_3	H	$CH(CH_3)_2$	H
X.406	CH_3	$CH_2C\equiv CH$	$CH(CH_3)_2$	H
X.407	CH_3	H	4'-CH=NO(*n*)-C_4H_9-phenyl	H
X.408	CH_3	H	4'-CH=NO(*iso*)-C_4H_9-phenyl	H
X.409	CH_3	H	4'-CH=NO(*iso*)-C_3H_7-phenyl	H
X.410	CH_3	H	4'-CH=NO(*n*)-C_3H_7-phenyl	H
X.411	CH_3	H	$Si(CH_3)_3$	H
X.412	C_2H_5	H	$Si(CH_3)_3$	H
X.413	CH_2OCH_3	H	$Si(CH_3)_3$	H
X.414	CH3	$CH_2C\equiv CH$	$Si(CH_3)_3$	H
X.415	CH_3	H	$CH_2Si(CH_3)_3$	H
X.416	C_2H_5	H	$CH_2Si(CH_3)_3$	H
X.416	CH_2OCH_3	H	$CH_2Si(CH_3)_3$	H
X.418	CH3	$CH_2C\equiv CH$	$CH_2Si(CH_3)_3$	H
X.419	CH_3	H	$CH(CH_3)Si(CH_3)_3$	H
X.420	C_2H_5	H	$CH(CH_3)Si(CH_3)_3$	H
X.421	CH_2OCH_3	H	$CH(CH_3)Si(CH_3)_3$	H
X.422	CH3	$CH_2C\equiv CH$	$CH(CH_3)Si(CH_3)_3$	H
X.423	CH_3	H	$CH_2CH_2Si(CH_3)_3$	H
X.424	C_2H_5	H	$CH_2CH_2Si(CH_3)_3$	H
X.425	CH_2OCH_3	H	$CH_2CH_2Si(CH_3)_3$	H
X.426	CH3	$CH_2C\equiv CH$	$CH_2CH_2Si(CH_3)_3$	H
X.427	CH_3	H	$CH(CH_3)CH_2Si(CH_3)_3$	H
X.428	C_2H_5	H	$CH(CH_3)CH_2Si(CH_3)_3$	H

X.429	CH_2OCH_3	H	$CH(CH_3)CH_2Si(CH_3)_3$	H
X.430	CH3	$CH_2C\equiv CH$	$CH(CH_3)CH_2Si(CH_3)_3$	H
X.431	CH_3	H	$CH_2CH_2CH_2Si(CH_3)_3$	H
X.432	C_2H_5	H	$CH_2CH_2CH_2Si(CH_3)_3$	H
X.433	CH_2OCH_3	H	$CH_2CH_2CH_2Si(CH_3)_3$	H
X.434	CH3	$CH_2C\equiv CH$	$CH_2CH_2CH_2Si(CH_3)_3$	H
X.435	CH_3	H	$CH_2Si(CH_3)_2C_2H_5$	H
X.436	CH_3	H	$CH_2Si(CH_3)_2CH(CH_3)_2$	H
X.437	CH_3	H	$CH_2Si(CH_3)_2OCH_3$	H
X.438	CH_3	H	$CH_2CH_2Si(CH_3)_2OCH_3$	H
X.439	CH_3	H	$CH(CH_3)Si(CH_3)_2OCH_3$	H
X.440	CH_3	H	$CH(CH_3)CH_2Si(CH_3)_2OCH_3$	H
X.441	CH_3	H	2'-cyclopropyl-cyclopropyl	H
X.442	C_2H_5	H	2'-cyclopropyl-cyclopropyl	H
X.443	CH_2OCH_3	H	2'-cyclopropyl-cyclopropyl	H
X.444	CH_3	$CH_2C\equiv CH$	2'-cyclopropyl-cyclopropyl	H
X.445	CH_3	H	2'-(α-CH_3-cyclopropyl)-cyclopropyl	H
X.446	C_2H_5	H	2'-(α-CH_3-cyclopropyl)-cyclopropyl	H
X.447	CH_2OCH_3	H	2'-(α-CH_3-cyclopropyl)-cyclopropyl	H
X.448	CH_3	$CH_2C\equiv CH$	2'-(α-CH_3-cyclopropyl)-cyclopropyl	H
X.449	CH_3	H	2'-cyclobutyl-cyclopropyl	H
X.450	CH_3	H	2'-cyclopentyl-cyclopropyl	H
X.451	CH_3	H	2'-cyclohexyl-cyclopropyl	H
X.452	CH_3	H	4'-C≡CH-phenyl	H
X.453	C_2H_5	H	4'-C≡CH-phenyl	H
X.454	CH_3	H	4'-C≡C-$Si(CH_3)_3$-phenyl	H
X.455	C_2H_5	H	4'-C≡C-$Si(CH_3)_3$-phenyl	H
X.456	CH_3	H	4'-C(H)=CH_2-phenyl	H
X.457	C_2H_5	H	4'-C(H)=CH_2-phenyl	H

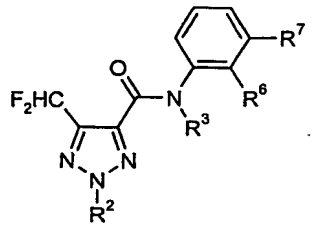
Table 2 provides 457 compounds of formula (I-2):



(I-2)

wherein R^2, R^3, R^6 and R^7 are as defined in Table 2.

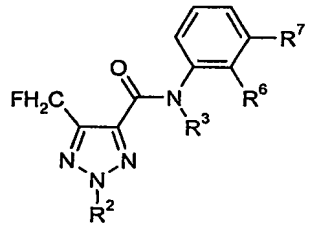
Table 3 provides 457 compounds of formula (I-3):



(I-3)

wherein R^2, R^3, R^6 and R^7 are as defined in Table 3.

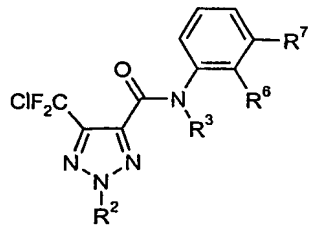
Table 4 provides 457 compounds of formula (I-4):



(I-4)

wherein R^2, R^3, R^6 and R^7 are as defined in Table 4.

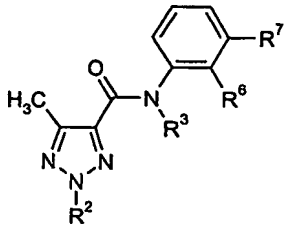
Table 5 provides 457 compounds of formula (I-5):



(I-5)

wherein R^2, R^3, R^6 and R^7 are as defined in Table 5.

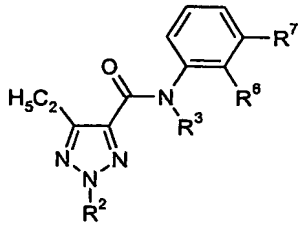
Table 6 provides 457 compounds of formula (I-6):



(I-6)

wherein R^2, R^3, R^6 and R^7 are as defined in Table 6.

Table 7 provides 457 compounds of formula (I-7):



(I-7)

wherein R^2, R^3, R^6 and R^7 are as defined in Table 7.

Table Y represents Table 8 [when Y is 8], Table 9 [when Y is 9], Table 10 [when Y is 10], Table 11 [when Y is 11], Table 12 [when Y is 12], Table 13 [when Y is 13], Table 14 [when Y is 14], Table 15 [when Y is 15], Table 16 [when Y is 16], Table 17 [when Y is 17], Table 18 [when Y is 18] and represents Table 19 [when Y is 19].

Table Y

Compound No.	R^2	R^3	R^6
Y.001	CH_3	H	phenyl
Y.002	CH_3	$CH_2C\equiv CH$	phenyl
Y.003	CH_3	H	2'-fluorophenyl
Y.004	CH_3	H	3'-fluorophenyl
Y.005	CH_3	H	4'-fluorophenyl
Y.006	C_2H_5	H	4'-fluorophenyl
Y.007	CH_2OCH_3	H	4'-fluorophenyl
Y.008	CH_3	$COCH_3$	4'-fluorophenyl
Y.009	CH_3	$COCH_2OCH_3$	4'-fluorophenyl
Y.010	CH_3	$CH_2C\equiv CH$	4'-fluorophenyl
Y.011	CH_3	$CH=C=CH_2$	4'-fluorophenyl
Y.012	CH_3	COO-*tert*-Bu	4'-fluorophenyl
Y.013	CH_3	H	2'-chlorophenyl
Y.014	CH_3	H	3'-chlorophenyl
Y.015	CH_3	H	4'-chlorophenyl
Y.016	C_2H_5	H	4'-chlorophenyl
Y.017	CH_2OCH_3	H	4'-chlorophenyl
Y.018	CH_3	$COCH_3$	4'-chlorophenyl
Y.019	CH_3	$COCH_2OCH_3$	4'-chlorophenyl
Y.020	CH_3	$CH_2C\equiv CH$	4'-chlorophenyl

Y.021	CH_3	$CH=C=CH_2$	4'-chlorophenyl
Y.022	CH_3	COO-*tert*-Bu	4'-chlorophenyl
Y.023	CH_3	H	2'-bromophenyl
Y.024	CH_3	H	3'-bromophenyl
Y.025	CH_3	H	4'-bromophenyl
Y.026	C_2H_5	H	4'-bromophenyl
Y.027	CH_2OCH_3	H	4'-bromophenyl
Y.028	CH_3	$COCH_3$	4'-bromophenyl
Y.029	CH_3	$COCH_2OCH_3$	4'-bromophenyl
Y.030	CH_3	$CH_2C\equiv CH$	4'-bromophenyl
Y.031	CH_3	$CH=C=CH_2$	4'-bromophenyl
Y.032	CH_3	COO-*tert*-Bu	4'-bromophenyl
Y.033	CH_3	H	2'-iodophenyl
Y.034	CH_3	H	3'-iodophenyl
Y.035	CH_3	H	4'-iodophenyl
Y.036	CH_3	H	2'-CF_3-phenyl
Y.037	CH_3	H	3'-CF_3-phenyl
Y.038	CH_3	H	4'-CF_3-phenyl
Y.039	C_2H_5	H	4'-CF_3-phenyl
Y.040	CH_2OCH_3	H	4'-CF_3-phenyl
Y.041	CH_3	$COCH_3$	4'-CF_3-phenyl
Y.042	CH_3	$COCH_2OCH_3$	4'-CF_3-phenyl
Y.043	CH_3	$CH_2C\equiv CH$	4'-CF_3-phenyl
Y.044	CH_3	COO-*tert*-Bu	4'-CF_3-phenyl
Y.045	CH_3	H	2'-OCF_3-phenyl
Y.046	CH_3	H	3'-OCF_3-phenyl
Y.047	CH_3	H	4'-OCF_3-phenyl
Y.048	C_2H_5	H	4'-OCF_3-phenyl
Y.049	CH_2OCH_3	H	4'-OCF_3-phenyl
Y.050	CH_3	$COCH_3$	4'-OCF_3-phenyl
Y.051	CH_3	$COCH_2OCH_3$	4'-OCF_3-phenyl
Y.052	CH_3	$CH_2C\equiv CH$	4'-OCF_3-phenyl
Y.053	CH_3	COO-*tert*-Bu	4'-OCF_3-phenyl
Y.054	CH_3	$CH=C=CH_2$	4'-OCF_3-phenyl
Y.055	CH_3	H	4'-SCF_3-phenyl
Y.056	CH_3	H	2'-CH=NOH-phenyl
Y.057	CH_3	H	3'-CH=NOH-phenyl
Y.058	CH_3	H	4'-CH=NOH-phenyl
Y.059	CH_3	H	2'-CH=$NOCH_3$-phenyl
Y.060	CH_3	H	3'-CH=$NOCH_3$-phenyl
Y.061	CH_3	H	4'-CH=$NOCH_3$-phenyl
Y.062	CH_3	H	2'-CH=NOC_2H_5-phenyl
Y.063	CH_3	H	3'-CH=NOC_2H_5-phenyl
Y.064	CH_3	H	4'-CH=NOC_2H_5-phenyl
Y.065	CH_3	H	2'-CN-phenyl
Y.066	CH_3	H	3'-CN-phenyl
Y.067	CH_3	H	4'-CN-phenyl
Y.068	CH_3	H	2'-NO_2-phenyl
Y.069	CH_3	H	3'-NO_2-phenyl
Y.070	CH_3	H	4'-NO_2-phenyl
Y.071	CH_3	H	3',4'-difluorophenyl
Y.072	C_2H_5	H	3',4'-difluorophenyl
Y.073	CH_2OCH_3	H	3',4'-difluorophenyl
Y.074	CH_3	$COCH_3$	3',4'-difluorophenyl
Y.075	CH_3	$COCH_2OCH_3$	3',4'-difluorophenyl

Y.076	CH_3	$CH_2C\equiv CH$	3',4'-difluorophenyl
Y.077	CH_3	COO-*tert*-Bu	3',4'-difluorophenyl
Y.078	CH_3	$CH=C=CH_2$	3',4'-difluorophenyl
Y.079	CH_3	H	3',4'-dichlorophenyl
Y.080	C_2H_5	H	3',4'-dichlorophenyl
Y.081	CH_2OCH_3	H	3',4'-dichlorophenyl
Y.082	CH_3	$COCH_3$	3',4'-dichlorophenyl
Y.083	CH_3	$COCH_2OCH_3$	3',4'-dichlorophenyl
Y.084	CH_3	$CH_2C\equiv CH$	3',4'-dichlorophenyl
Y.085	CH_3	COO-*tert*-Bu	3',4'-dichlorophenyl
Y.086	CH_3	$CH=C=CH_2$	3',4'-dichlorophenyl
Y.087	CH_3	H	4'-chloro-3'-fluoro-phenyl
Y.088	C_2H_5	H	4'-chloro-3'-fluoro-phenyl
Y.089	CH_2OCH_3	H	4'-chloro-3'-fluoro-phenyl
Y.090	CH_3	$COCH_3$	4'-chloro-3'-fluoro-phenyl
Y.091	CH_3	$COCH_2OCH_3$	4'-chloro-3'-fluoro-phenyl
Y.092	CH_3	$CH_2C\equiv CH$	4'-chloro-3'-fluoro-phenyl
Y.093	CH_3	COO-*tert*-Bu	4'-chloro-3'-fluoro-phenyl
Y.094	CH_3	$CH=C=CH_2$	4'-chloro-3'-fluoro-phenyl
Y.095	CH_3	H	3'-chloro-4'-fluoro-phenyl
Y.096	C_2H_5	H	3'-chloro-4'-fluoro-phenyl
Y.097	CH_2OCH_3	H	3'-chloro-4'-fluoro-phenyl
Y.098	CH_3	$COCH_3$	3'-chloro-4'-fluoro-phenyl
Y.099	CH_3	$COCH_2OCH_3$	3'-chloro-4'-fluoro-phenyl
Y.100	CH_3	$CH_2C\equiv CH$	3'-chloro-4'-fluoro-phenyl
Y.101	CH_3	COO-*tert*-Bu	3'-chloro-4'-fluoro-phenyl
Y.102	CH_3	$CH=C=CH_2$	3'-chloro-4'-fluoro-phenyl
Y.103	CH_3	H	2'-4'-dichloro-phenyl
Y.104	CH_2OCH_3	H	2'-4'-dichloro-phenyl
Y.105	CH_3	H	2'-4'-difluoro-phenyl
Y.106	CH_2OCH_3	H	2'-4'-difluoro-phenyl
Y.107	CH_3	H	$CH_2CH_2CH_3$
Y.108	C_2H_5	H	$CH_2CH_2CH_3$
Y.109	CH_2OCH_3	H	$CH_2CH_2CH_3$
Y.110	CH_3	$CH_2C\equiv CH$	$CH_2CH_2CH_3$
Y.111	CH_3	H	$CH_2CH_2CH_2CH_3$
Y.112	C_2H_5	H	$CH_2CH_2CH_2CH_3$
Y.113	CH_2OCH_3	H	$CH_2CH_2CH_2CH_3$
Y.114	CH_3	$CH_2C\equiv CH$	$CH_2CH_2CH_2CH_3$
Y.115	CH_3	H	$CH_2CH_2CH_2(C_2H_5)$
Y.116	C_2H_5	H	$CH_2CH_2CH_2(C_2H_5)$
Y.117	CH_2OCH_3	H	$CH_2CH_2CH_2(C_2H_5)$
Y.118	CH_3	$CH_2C\equiv CH$	$CH_2CH_2CH_2(C_2H_5)$
Y.119	CH_3	H	$CH_2CH_2CH(CH_3)_2$
Y.120	C_2H_5	H	$CH_2CH_2CH(CH_3)_2$
Y.121	CH_2OCH_3	H	$CH_2CH_2CH(CH_3)_2$
Y.122	CH_3	$COCH_3$	$CH_2CH_2CH(CH_3)_2$
Y.123	CH_3	$COCH_2OCH_3$	$CH_2CH_2CH(CH_3)_2$
Y.124	CH_3	$CH_2C\equiv CH$	$CH_2CH_2CH(CH_3)_2$
Y.125	CH_3	COO-*tert*-Bu	$CH_2CH_2CH(CH_3)_2$
Y.126	CH_3	$CH=C=CH_2$	$CH_2CH_2CH(CH_3)_2$
Y.127	CH_3	H	$CH_2CH_2CH(CH_3)(C_2H_5)$
Y.128	C_2H_5	H	$CH_2CH_2CH(CH_3)(C_2H_5)$
Y.129	CH_2OCH_3	H	$CH_2CH_2CH(CH_3)(C_2H_5)$
Y.130	CH_3	$COCH_3$	$CH_2CH_2CH(CH_3)(C_2H_5)$

Y.131	CH_3	$COCH_2OCH_3$	$CH_2CH_2CH(CH_3)(C_2H_5)$
Y.132	CH_3	$CH_2C\equiv CH$	$CH_2CH_2CH(CH_3)(C_2H_5)$
Y.133	CH_3	COO-*tert*-Bu	$CH_2CH_2CH(CH_3)(C_2H_5)$
Y.134	CH_3	$CH=C=CH_2$	$CH_2CH_2CH(CH_3)(C_2H_5)$
Y.135	CH_3	H	$CH_2CH_2CH(C_2H_5)_2$
Y.136	C_2H_5	H	$CH_2CH_2CH(C_2H_5)_2$
Y.137	CH_2OCH_3	H	$CH_2CH_2CH(C_2H_5)_2$
Y.138	CH_3	$COCH_3$	$CH_2CH_2CH(C_2H_5)_2$
Y.139	CH_3	$COCH_2OCH_3$	$CH_2CH_2CH(C_2H_5)_2$
Y.140	CH_3	$CH_2C\equiv CH$	$CH_2CH_2CH(C_2H_5)_2$
Y.141	CH_3	COO-*tert*-Bu	$CH_2CH_2CH(C_2H_5)_2$
Y.142	CH_3	$CH=C=CH_2$	$CH_2CH_2CH(C_2H_5)_2$
Y.143	CH_3	H	$CH_2CH_2C(CH_3)_3$
Y.144	C_2H_5	H	$CH_2CH_2C(CH_3)_3$
Y.145	CH_2OCH_3	H	$CH_2CH_2C(CH_3)_3$
Y.146	CH_3	$COCH_3$	$CH_2CH_2C(CH_3)_3$
Y.147	CH_3	$COCH_2OCH_3$	$CH_2CH_2C(CH_3)_3$
Y.148	CH_3	$CH_2C\equiv CH$	$CH_2CH_2C(CH_3)_3$
Y.149	CH_3	COO-*tert*-Bu	$CH_2CH_2C(CH_3)_3$
Y.150	CH_3	$CH=C=CH_2$	$CH_2CH_2C(CH_3)_3$
Y.151	CH_3	H	$CH_2CH_2C(CH_3)_2(C_2H_5)$
Y.152	C_2H_5	H	$CH_2CH_2C(CH_3)_2(C_2H_5)$
Y.153	CH_2OCH_3	H	$CH_2CH_2C(CH_3)_2(C_2H_5)$
Y.154	CH_3	$COCH_3$	$CH_2CH_2C(CH_3)_2(C_2H_5)$
Y.155	CH_3	$COCH_2OCH_3$	$CH_2CH_2C(CH_3)_2(C_2H_5)$
Y.156	CH_3	$CH_2C\equiv CH$	$CH_2CH_2C(CH_3)_2(C_2H_5)$
Y.157	CH_3	COO-*tert*-Bu	$CH_2CH_2C(CH_3)_2(C_2H_5)$
Y.158	CH_3	$CH=C=CH_2$	$CH_2CH_2C(CH_3)_2(C_2H_5)$
Y.159	CH_3	H	$CH_2CH_2C(CH_3)(C_2H_5)_2$
Y.160	C_2H_5	H	$CH_2CH_2C(CH_3)(C_2H_5)_2$
Y.161	CH_2OCH_3	H	$CH_2CH_2C(CH_3)(C_2H_5)_2$
Y.162	CH_3	$COCH_3$	$CH_2CH_2C(CH_3)(C_2H_5)_2$
Y.163	CH_3	$COCH_2OCH_3$	$CH_2CH_2C(CH_3)(C_2H_5)_2$
Y.164	CH_3	$CH_2C\equiv CH$	$CH_2CH_2C(CH_3)(C_2H_5)_2$
Y.165	CH_3	COO-*tert*-Bu	$CH_2CH_2C(CH_3)(C_2H_5)_2$
Y.166	CH_3	$CH=C=CH_2$	$CH_2CH_2C(CH_3)(C_2H_5)_2$
Y.167	CH_3	H	$CH(CH_3)CH_2CH_3$
Y.168	C_2H_5	H	$CH(CH_3)CH_2CH_3$
Y.169	CH_2OCH_3	H	$CH(CH_3)CH_2CH_3$
Y.170	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2CH_3$
Y.171	CH_3	H	$CH(C_2H_5)CH_2CH_3$
Y.172	C_2H_5	H	$CH(C_2H_5)CH_2CH_3$
Y.173	CH_2OCH_3	H	$CH(C_2H_5)CH_2CH_3$
Y.174	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2CH_3$
Y.175	CH_3	H	$CH(CF_3)CH_2CH_3$
Y.176	C_2H_5	H	$CH(CF_3)CH_2CH_3$
Y.177	CH_2OCH_3	H	$CH(CF_3)CH_2CH_3$
Y.178	CH_3	$CH_2C\equiv CH$	$CH(CF_3)CH_2CH_3$
Y.179	CH_3	H	$CH(CH_3)CH_2CH_2CH_3$
Y.180	C_2H_5	H	$CH(CH_3)CH_2CH_2CH_3$
Y.181	CH_2OCH_3	H	$CH(CH_3)CH_2CH_2CH_3$
Y.182	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2CH_2CH_3$
Y.183	CH_3	H	$CH(C_2H_5)CH_2CH_2CH_3$
Y.184	C_2H_5	H	$CH(C_2H_5)CH_2CH_2CH_3$
Y.185	CH_2OCH_3	H	$CH(C_2H_5)CH_2CH_2CH_3$

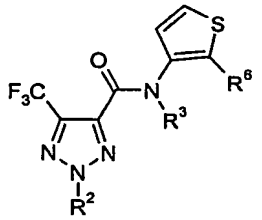
Y.186	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2CH_2CH_3$
Y.187	CH_3	H	$CH(CF_3)CH_2CH_2CH_3$
Y.188	C_2H_5	H	$CH(CF_3)CH_2CH_2CH_3$
Y.189	CH_3	H	$CH(CH_3)CH_2CH(CH_3)_2$
Y.190	C_2H_5	H	$CH(CH_3)CH_2CH(CH_3)_2$
Y.191	CH_2OCH_3	H	$CH(CH_3)CH_2CH(CH_3)_2$
Y.192	CH_3	$COCH_3$	$CH(CH_3)CH_2CH(CH_3)_2$
Y.193	CH_3	$COCH_2OCH_3$	$CH(CH_3)CH_2CH(CH_3)_2$
Y.194	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2CH(CH_3)_2$
Y.195	CH_3	COO-*tert*-Bu	$CH(CH_3)CH_2CH(CH_3)_2$
Y.196	CH_3	$CH=C=CH_2$	$CH(CH_3)CH_2CH(CH_3)_2$
Y.197	CH_3	H	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$
Y.198	C_2H_5	H	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$
Y.199	CH_2OCH_3	H	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$
Y.200	CH_3	$COCH_3$	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$
Y.201	CH_3	$COCH_2OCH_3$	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$
Y.202	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$
Y.203	CH_3	COO-*tert*-Bu	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$
Y.204	CH_3	$CH=C=CH_2$	$CH(CH_3)CH_2CH(CH_3)(C_2H_5)$
Y.205	CH_3	H	$CH(CH_3)CH_2CH(C_2H_5)_2$
Y.206	C_2H_5	H	$CH(CH_3)CH_2CH(C_2H_5)_2$
Y.207	CH_2OCH_3	H	$CH(CH_3)CH_2CH(C_2H_5)_2$
Y.208	CH_3	$COCH_3$	$CH(CH_3)CH_2CH(C_2H_5)_2$
Y.209	CH_3	$COCH_2OCH_3$	$CH(CH_3)CH_2CH(C_2H_5)_2$
Y.210	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2CH(C_2H_5)_2$
Y.211	CH_3	COO-*tert*-Bu	$CH(CH_3)CH_2CH(C_2H_5)_2$
Y.212	CH_3	$CH=C=CH_2$	$CH(CH_3)CH_2CH(C_2H_5)_2$
Y.213	CH_3	H	$CH(C_2H_5)CH_2CH(CH_3)_2$
Y.214	C_2H_5	H	$CH(C_2H_5)CH_2CH(CH_3)_2$
Y.215	CH_2OCH_3	H	$CH(C_2H_5)CH_2CH(CH_3)_2$
Y.216	CH_3	$COCH_3$	$CH(C_2H_5)CH_2CH(CH_3)_2$
Y.217	CH_3	$COCH_2OCH_3$	$CH(C_2H_5)CH_2CH(CH_3)_2$
Y.218	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2CH(CH_3)_2$
Y.219	CH_3	COO-*tert*-Bu	$CH(C_2H_5)CH_2CH(CH_3)_2$
Y.220	CH_3	$CH=C=CH_2$	$CH(C_2H_5)CH_2CH(CH_3)_2$
Y.221	CH_3	H	$CH(C_2H_5)CH_2CH(CH_3)(C_2H_5)$
Y.222	C_2H_5	H	$CH(C_2H_5)CH_2CH(CH_3)(C_2H_5)$
Y.223	CH_2OCH_3	H	$CH(C_2H_5)CH_2CH(CH_3)(C_2H_5)$
Y.224	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2CH(CH_3)(C_2H_5)$
Y.225	CH_3	H	$CH(C_2H_5)CH_2CH(C_2H_5)_2$
Y.226	C_2H_5	H	$CH(C_2H_5)CH_2CH(C_2H_5)_2$
Y.227	CH_2OCH_3	H	$CH(C_2H_5)CH_2CH(C_2H_5)_2$
Y.228	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2CH(C_2H_5)_2$
Y.229	CH_3	H	$CH(CF_3)CH_2CH(CH_3)_2$
Y.230	C_2H_5	H	$CH(CF_3)CH_2CH(CH_3)_2$
Y.231	CH_2OCH_3	H	$CH(CF_3)CH_2CH(CH_3)_2$
Y.232	CH_3	$CH_2C\equiv CH$	$CH(CF_3)CH_2CH(CH_3)_2$
Y.233	CH_3	H	$CH(CF_3)CH_2CH(CH_3)(C_2H_5)$
Y.234	CH_3	H	$CH(CF_3)CH_2CH(C_2H_5)_2$
Y.235	CH_3	H	$CH(CH_3)CH_2C(CH_3)_3$
Y.236	C_2H_5	H	$CH(CH_3)CH_2C(CH_3)_3$
Y.237	CH_2OCH_3	H	$CH(CH_3)CH_2C(CH_3)_3$
Y.238	CH_3	$COCH_3$	$CH(CH_3)CH_2C(CH_3)_3$
Y.239	CH_3	$COCH_2OCH_3$	$CH(CH_3)CH_2C(CH_3)_3$
Y.240	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2C(CH_3)_3$

Y.241	CH_3	COO-*tert*-Bu	$CH(CH_3)CH_2C(CH_3)_3$
Y.242	CH_3	$CH=C=CH_2$	$CH(CH_3)CH_2C(CH_3)_3$
Y.243	CH_3	H	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$
Y.244	C_2H_5	H	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$
Y.245	CH_2OCH_3	H	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$
Y.246	CH_3	$COCH_3$	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$
Y.247	CH_3	$COCH_2OCH_3$	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$
Y.248	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$
Y.249	CH_3	COO-*tert*-Bu	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$
Y.250	CH_3	$CH=C=CH_2$	$CH(CH_3)CH_2C(CH_3)_2(C_2H_5)$
Y.251	CH_3	H	$CH(CH_3)CH_2C(CH_3)(C_2H_5)_2$
Y.252	C_2H_5	H	$CH(CH_3)CH_2C(CH_3)(C_2H_5)_2$
Y.253	CH_2OCH_3	H	$CH(CH_3)CH_2C(CH_3)(C_2H_5)_2$
Y.254	CH_3	$CH_2C\equiv CH$	$CH(CH_3)CH_2C(CH_3)(C_2H_5)_2$
Y.255	CH_3	H	$CH(C_2H_5)CH_2C(CH_3)_3$
Y.256	C_2H_5	H	$CH(C_2H_5)CH_2C(CH_3)_3$
Y.257	CH_2OCH_3	H	$CH(C_2H_5)CH_2C(CH_3)_3$
Y.258	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2C(CH_3)_3$
Y.259	CH_3	H	$CH(C_2H_5)CH_2C(CH_3)_2(C_2H_5)$
Y.260	C_2H_5	H	$CH(C_2H_5)CH_2C(CH_3)_2(C_2H_5)$
Y.261	CH_2OCH_3	H	$CH(C_2H_5)CH_2C(CH_3)_2(C_2H_5)$
Y.262	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2C(CH_3)_2(C_2H_5)$
Y.263	CH_3	H	$CH(C_2H_5)CH_2C(CH_3)(C_2H_5)_2$
Y.264	C_2H_5	H	$CH(C_2H_5)CH_2C(CH_3)(C_2H_5)_2$
Y.265	CH_2OCH_3	H	$CH(C_2H_5)CH_2C(CH_3)(C_2H_5)_2$
Y.266	CH_3	$CH_2C\equiv CH$	$CH(C_2H_5)CH_2C(CH_3)(C_2H_5)_2$
Y.267	CH_3	H	$CH(CF_3)CH_2C(CH_3)_3$
Y.268	C_2H_5	H	$CH(CF_3)CH_2C(CH_3)_3$
Y.269	CH_2OCH_3	H	$CH(CF_3)CH_2C(CH_3)_3$
Y.270	CH_3	$CH_2C\equiv CH$	$CH(CF_3)CH_2C(CH_3)_3$
Y.271	CH_3	H	$CH(CF_3)CH_2C(CH_3)_2(C_2H_5)$
Y.272	C_2H_5	H	$CH(CF_3)CH_2C(CH_3)_2(C_2H_5)$
Y.273	CH_2OCH_3	H	$CH(CF_3)CH_2C(CH_3)_2(C_2H_5)$
Y.274	CH_3	$CH_2C\equiv CH$	$CH(CF_3)CH_2C(CH_3)_2(C_2H_5)$
Y.275	CH_3	H	$CH(CF_3)CH_2C(CH_3)(C_2H_5)_2$
Y.276	C_2H_5	H	$CH(CF_3)CH_2C(CH_3)(C_2H_5)_2$
Y.277	CH_2OCH_3	H	$CH(CF_3)CH_2C(CH_3)(C_2H_5)_2$
Y.278	CH_3	$CH_2C\equiv CH$	$CH(CF_3)CH_2C(CH_3)(C_2H_5)_2$
Y.279	CH_3	H	2'-*tert*-butyl-cyclopropyl
Y.280	C_2H_5	H	2'-*tert*-butyl-cyclopropyl
Y.281	CH_2OCH_3	H	2'-*tert*-butyl-cyclopropyl
Y.282	CH_3	$CH_2C\equiv CH$	2'-*tert*-butyl-cyclopropyl
Y.283	CH_3	H	2'-isobutyl-cyclopropyl
Y.284	C_2H_5	H	2'-isobutyl-cyclopropyl
Y.285	CH_2OCH_3	H	2'-isobutyl-cyclopropyl
Y.286	CH_3	$CH_2C\equiv CH$	2'-isobutyl-cyclopropyl
Y.287	CH_3	H	4',4'-dimethyl-cyclobutyl
Y.288	C_2H_5	H	4',4'-dimethyl-cyclobutyl
Y.289	CH_2OCH_3	H	4',4'-dimethyl-cyclobutyl
Y.290	CH_3	$CH_2C\equiv CH$	4',4'-dimethyl-cyclobutyl
Y.291	CH_3	H	cyclopentyl
Y.292	C_2H_5	H	cyclopentyl
Y.293	CH_2OCH_3	H	cyclopentyl
Y.294	CH_3	$CH_2C\equiv CH$	cyclopentyl
Y.295	CH_3	H	3'-methyl-cyclopentyl

Y.296	C_2H_5	H	3'-methyl-cyclopentyl
Y.297	CH_2OCH_3	H	3'-methyl-cyclopentyl
Y.298	CH_3	$CH_2C\equiv CH$	3'-methyl-cyclopentyl
Y.299	CH_3	H	cyclohexyl
Y.300	C_2H_5	H	cyclohexyl
Y.301	CH_2OCH_3	H	cyclohexyl
Y.302	CH_3	$CH_2C\equiv CH$	cyclohexyl
Y.303	CH_3	H	3'-methyl-cyclohexyl
Y.304	C_2H_5	H	3'-methyl-cyclohexyl
Y.305	CH_2OCH_3	H	3'-methyl-cyclohexyl
Y.306	CH_3	$CH_2C\equiv CH$	3'-methyl-cyclohexyl
Y.307	CH_3	H	4'-methyl-cyclohexyl
Y.308	C_2H_5	H	4'-methyl-cyclohexyl
Y.309	CH_2OCH_3	H	4'-methyl-cyclohexyl
Y.310	CH_3	$CH_2C\equiv CH$	4'-methyl-cyclohexyl
Y.311	CH_3	H	cycloheptyl
Y.312	C_2H_5	H	cycloheptyl
Y.313	CH_2OCH_3	H	cycloheptyl
Y.314	CH_3	$CH_2C\equiv CH$	cycloheptyl
Y.315	CH_3	H	2'-thienyl
Y.316	C_2H_5	H	2'-thienyl
Y.317	CH_2OCH_3	H	2'-thienyl
Y.318	CH_3	$CH_2C\equiv CH$	2'-thienyl
Y.319	CH_3	H	3'-thienyl
Y.320	C_2H_5	H	3'-thienyl
Y.321	CH_2OCH_3	H	3'-thienyl
Y.322	CH_3	$CH_2C\equiv CH$	3'-thienyl
Y.323	CH_3	H	5'-chloro-2'-thienyl
Y.324	C_2H_5	H	5'-chloro-2'-thienyl
Y.325	CH_2OCH_3	H	5'-chloro-2'-thienyl
Y.326	CH_3	$CH_2C\equiv CH$	5'-chloro-2'-thienyl
Y.327	CH_3	H	2'-furyl
Y.328	C_2H_5	H	2'-furyl
Y.329	CH_2OCH_3	H	2'-furyl
Y.330	CH_3	$CH_2C\equiv CH$	2'-furyl
Y.331	CH_3	H	5'-chloro-2'-furyl
Y.332	C_2H_5	H	5'-chloro-2'-furyl
Y.333	CH_2OCH_3	H	5'-chloro-2'-furyl
Y.334	CH_3	$CH_2C\equiv CH$	5'-chloro-2'-furyl
Y.335	CH_3	H	2'-pyridyl
Y.336	C_2H_5	H	2'-pyridyl
Y.337	CH_2OCH_3	H	2'-pyridyl
Y.338	CH_3	$CH_2C\equiv CH$	2'-pyridyl
Y.339	CH_3	H	3'-pyridyl
Y.340	C_2H_5	H	3'-pyridyl
Y.341	CH_2OCH_3	H	3'-pyridyl
Y.342	CH_3	$CH_2C\equiv CH$	3'-pyridyl
Y.343	CH_3	H	4'-pyridyl
Y.344	C_2H_5	H	4'-pyridyl
Y.345	CH_2OCH_3	H	4'-pyridyl
Y.346	CH_3	$CH_2C\equiv CH$	4'-pyridyl
Y.347	CH_3	H	6'-chloro-3'-pyridyl
Y.348	C_2H_5	H	6'-chloro-3'-pyridyl
Y.349	CH_2OCH_3	H	6'-chloro-3'-pyridyl
Y.350	CH_3	$CH_2C\equiv CH$	6'-chloro-3'-pyridyl

Y.351	CH_3	H	6'-fluoro-3'-pyridyl
Y.352	C_2H_5	H	6'-fluoro-3'-pyridyl
Y.353	CH_2OCH_3	H	6'-fluoro-3'-pyridyl
Y.354	CH_3	$CH_2C\equiv CH$	6'-fluoro-3'-pyridyl
Y.355	CH_3	H	6'-bromo-3'-pyridyl
Y.356	C_2H_5	H	6'-bromo-3'-pyridyl
Y.357	CH_2OCH_3	H	6'-bromo-3'-pyridyl
Y.358	CH_3	$CH_2C\equiv CH$	6'-bromo-3'-pyridyl
Y.359	CH_3	H	2'-oxazolyl
Y.360	CH_3	H	3'-isoxazolyl
Y.361	CH_3	H	$CH(CH_3)_2$
Y.362	C_2H_5	H	$CH(CH_3)_2$
Y.363	CH_2OCH_3	H	$CH(CH_3)_2$
Y.364	CH_3	$CH_2C\equiv CH$	$CH(CH_3)_2$

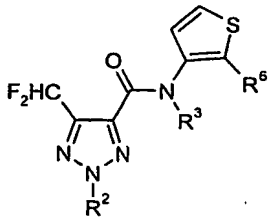
Table 8 provides 364 compounds of formula (I-8):



(I-8)

wherein R^2, R^3, and R^6 are as defined in Table 8.

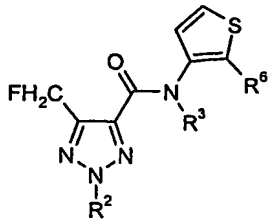
Table 9 provides 364 compounds of formula (I-9):



(I-9)

wherein R^2, R^3, and R^6 are as defined in Table 9.

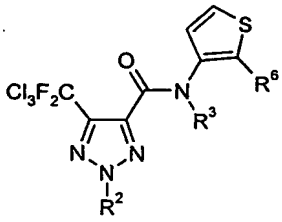
Table 10 provides 364 compounds of formula (I-10):



(I-10)

wherein R^2, R^3, and R^6 are as defined in Table 10.

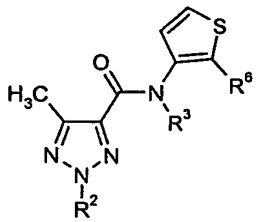
Table 11 provides 364 compounds of formula (I-11):



(I-11)

wherein R^2, R^3, and R^6 are as defined in Table 11.

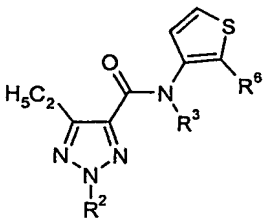
Table 12 provides 364 compounds of formula (I-12):



(I-12)

wherein R^2, R^3, and R^6 are as defined in Table 12.

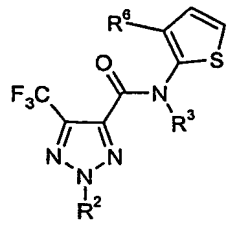
Table 13 provides 364 compounds of formula (I-13):



(I-13)

wherein R^2, R^3, and R^6 are as defined in Table 13.

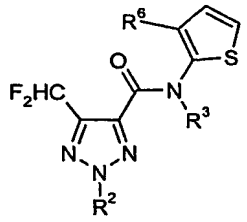
Table 14 provides 364 compounds of formula (I-14):



(I-14)

wherein R^2, R^3, and R^6 are as defined in Table 14.

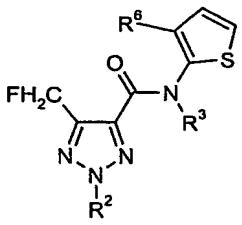
Table 15 provides 364 compounds of formula (I-15):



(I-15)

wherein R^2, R^3, and R^6 are as defined in Table 15.

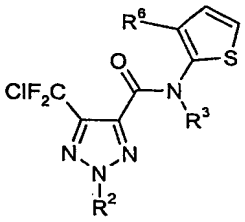
Table 16 provides 364 compounds of formula (I-16):



(I-16)

wherein R^2, R^3, and R^6 are as defined in Table 16.

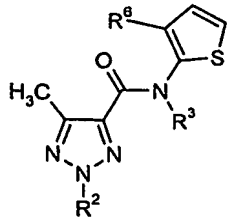
Table 17 provides 364 compounds of formula (I-17):



(I-17)

wherein R^2, R^3, and R^6 are as defined in Table 17.

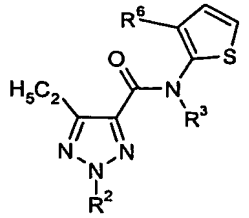
Table 18 provides 364 compounds of formula (I-18):



(I-18)

wherein R^2, R^3, and R^6 are as defined in Table 18.

Table 19 provides 364 compounds of formula (I-19):



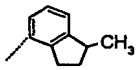
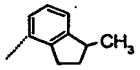
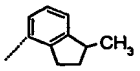
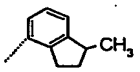
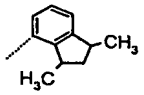
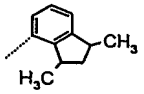
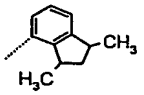
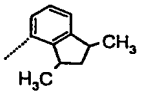
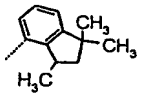
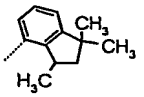
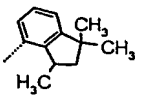
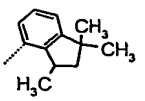
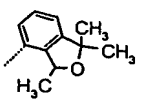
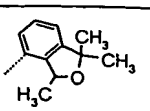
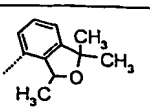
(I-19)

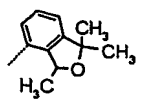
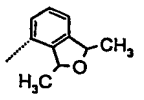
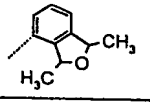
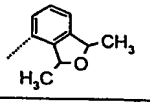
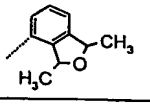
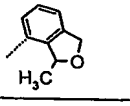
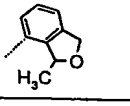
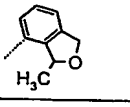
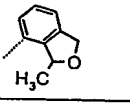
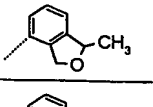
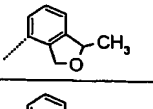
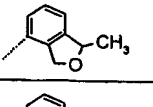
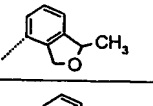
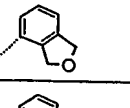
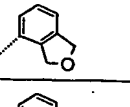
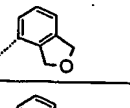
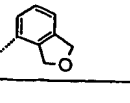
wherein R^2, R^3, and R^6 are as defined in Table 19.

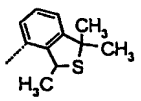
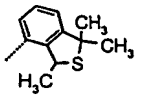
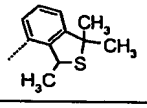
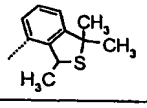
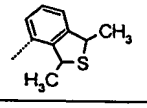
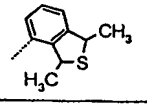
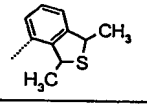
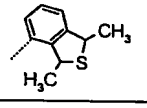
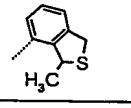
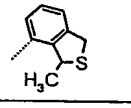
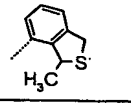
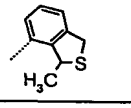
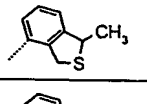
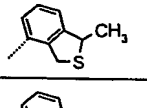
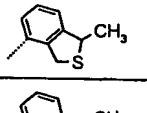
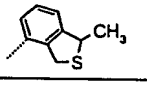
Table Z represents Table 20 [when Z is 20], Table 21 [when Z is 21], Table 22 [when Z is 22], Table 23 [when Z is 23], Table 24 [when Z is 24] and represents Table 25 [when Z is 25].

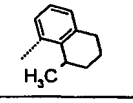
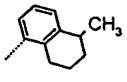
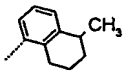
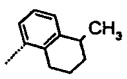
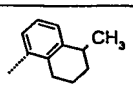
Table Z

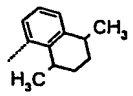
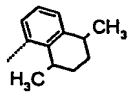
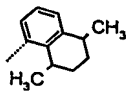
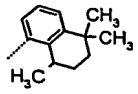
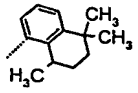
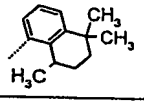
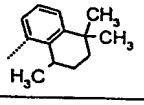
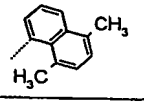
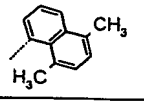
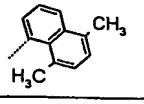
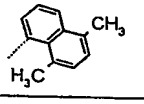
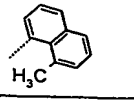
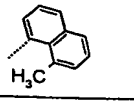
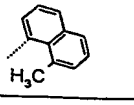
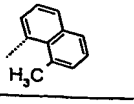
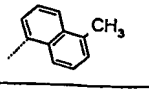
Compound No.	R^2	R^3	A
Z.001	CH_3	H	
Z.002	C_2H_5	H	
Z.003	CH_2OCH_3	H	
Z.004	CH_3	$CH_2C\equiv CH$	
Z.005	CH_3	H	
Z.006	C_2H_5	H	
Z.007	CH_2OCH_3	H	

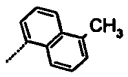
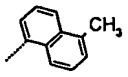
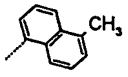
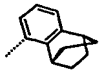
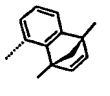
Z.008	CH_3	$CH_2C\equiv CH$	
Z.009	CH_3	H	
Z.010	C_2H_5	H	
Z.011	CH_2OCH_3	H	
Z.012	CH_3	$CH_2C\equiv CH$	
Z.013	CH_3	H	
Z.014	C_2H_5	H	
Z.015	CH_2OCH_3	H	
Z.016	CH_3	$CH_2C\equiv CH$	
Z.017	CH_3	H	
Z.018	C_2H_5	H	
Z.019	CH_2OCH_3	H	
Z.020	CH_3	$CH_2C\equiv CH$	
Z.021	CH_3	H	
Z.022	C_2H_5	H	
Z.023	CH_2OCH_3	H	

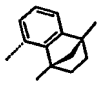
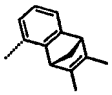
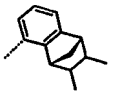
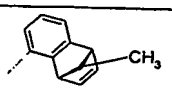
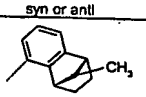
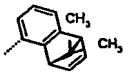
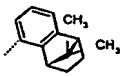
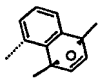
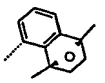
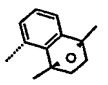
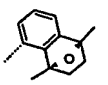
Z.024	CH_3	$CH_2C\equiv CH$	
Z.025	CH_3	H	
Z.026	C_2H_5	H	
Z.027	CH_2OCH_3	H	
Z.028	CH_3	$CH_2C\equiv CH$	
Z.029	CH_3	H	
Z.030	C_2H_5	H	
Z.031	CH_2OCH_3	H	
Z.032	CH_3	$CH_2C\equiv CH$	
Z.033	CH_3	H	
Z.034	C_2H_5	H	
Z.035	CH_2OCH_3	H	
Z.036	CH_3	$CH_2C\equiv CH$	
Z.037	CH_3	H	
Z.038	C_2H_5	H	
Z.039	CH_2OCH_3	H	
Z.040	CH_3	$CH_2C\equiv CH$	

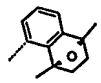
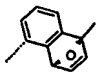
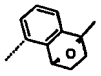
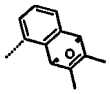
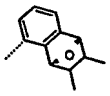
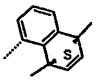
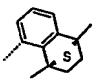
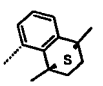
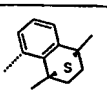
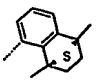
Z.041	CH_3	H	
Z.042	C_2H_5	H	
Z.043	CH_2OCH_3	H	
Z.044	CH_3	$CH_2C\equiv CH$	
Z.045	CH_3	H	
Z.046	C_2H_5	H	
Z.047	CH_2OCH_3	H	
Z.048	CH_3	$CH_2C\equiv CH$	
Z.049	CH_3	H	
Z.050	C_2H_5	H	
Z.051	CH_2OCH_3	H	
Z.052	CH_3	$CH_2C\equiv CH$	
Z.053	CH_3	H	
Z.054	C_2H_5	H	
Z.055	CH_2OCH_3	H	
Z.056	CH_3	$CH_2C\equiv CH$	

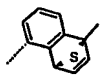
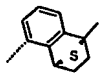
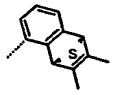
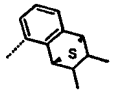
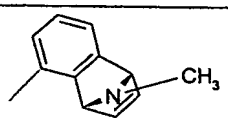
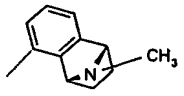
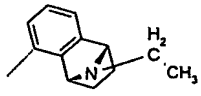
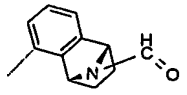
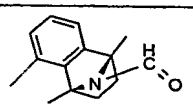
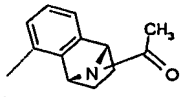
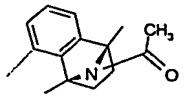
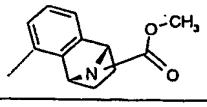
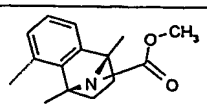
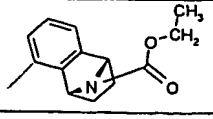
Z.057	CH_3	H	
Z.058	C_2H_5	H	
Z.059	CH_2OCH_3	H	
Z.060	CH_3	$CH_2C\equiv CH$	
Z.061	CH_3	H	
Z.062	C_2H_5	H	
Z.063	CH_2OCH_3	H	
Z.064	CH_3	$CH_2C\equiv CH$	
Z.065	CH_3	H	
Z.066	C_2H_5	H	
Z.067	CH_2OCH_3	H	
Z.068	CH_3	$CH_2C\equiv CH$	
Z.069	CH_3	H	
Z.070	C_2H_5	H	
Z.071	CH_2OCH_3	H	
Z.072	CH_3	$CH_2C\equiv CH$	
Z.073	CH_3	H	

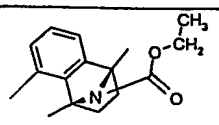
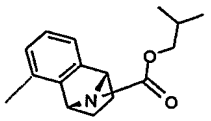
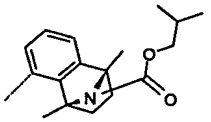
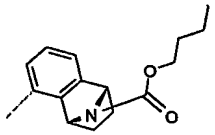
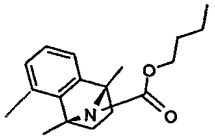
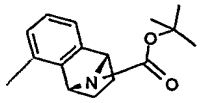
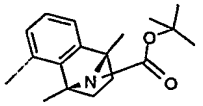
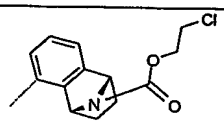
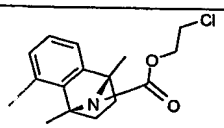
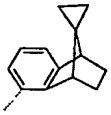
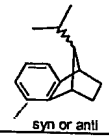
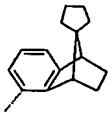
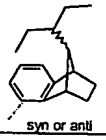
Z.074	C_2H_5	H	
Z.075	CH_2OCH_3	H	
Z.076	CH_3	$CH_2C\equiv CH$	
Z.077	CH_3	H	
Z.078	C_2H_5	H	
Z.079	CH_2OCH_3	H	
Z.080	CH_3	$CH_2C\equiv CH$	
Z.081	CH_3	H	
Z.082	C_2H_5	H	
Z.083	CH_2OCH_3	H	
Z.084	CH_3	$CH_2C\equiv CH$	
Z.085	CH_3	H	
Z.086	C_2H_5	H	
Z.087	CH_2OCH_3	H	
Z.088	CH_3	$CH_2C\equiv CH$	
Z.089	CH_3	H	

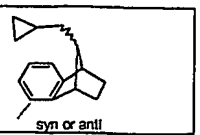
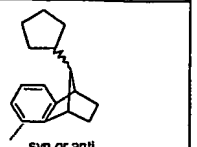
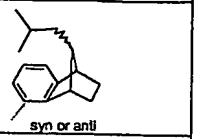
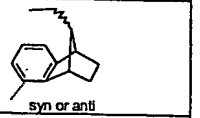
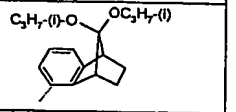
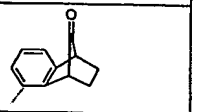
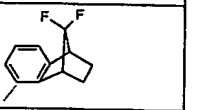
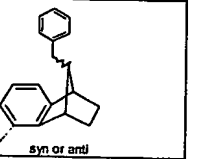
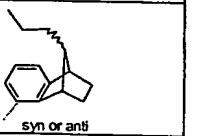
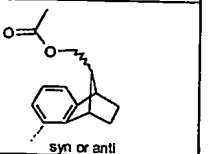
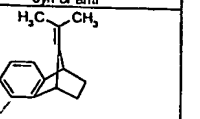
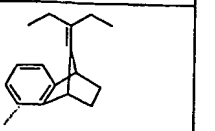
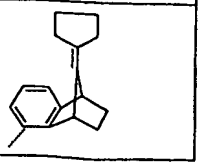
Z.090	C_2H_5	H	
Z.091	CH_2OCH_3	H	
Z.092	CH_3	$CH_2C\equiv CH$	
Z.093	CH_3	H	
Z.094	C_2H_5	H	
Z.095	CH_2OCH_3	H	
Z.096	CH_3	$CH_2C\equiv CH$	
Z.097	CH_3	H	
Z.098	C_2H_5	H	
Z.099	CH_2OCH_3	H	
Z.100	CH_3	$CH_2C\equiv CH$	
Z.101	CH_3	H	
Z.102	C_2H_5	H	
Z.103	CH_2OCH_3	H	
Z.104	CH_3	$CH_2C\equiv CH$	
Z.105	CH_3	H	
Z.106	CH_3	H	

Z.107	CH_3	H	
Z.108	CH_3	H	
Z.109	CH_3	H	
Z.110	CH_3	H	 syn or anti
Z.111	CH_3	H	 syn or anti
Z.112	CH_3	H	
Z.113	CH_3	H	
Z.114	CH_3	H	
Z.115	CH_3	H	
Z.116	C_2H_5	H	
Z.117	CH_2OCH_3	H	
Z.118	CH_3	$CH_2C\equiv CH$	
Z.119	CH_3	H	
Z.120	CH_3	H	
Z.121	C_2H_5	H	
Z.122	CH_2OCH_3	H	

Z.123	CH_3	$CH_2C\equiv CH$	
Z.124	CH_3	H	
Z.125	CH_3	H	
Z.126	CH_3	H	
Z.127	CH_3	H	
Z.128	CH_3	H	
Z.129	CH_3	H	
Z.130	CH_3	H	
Z.131	CH_3	H	
Z.132	C_2H_5	H	
Z.133	CH_2OCH_3	H	
Z.134	CH_3	$CH_2C\equiv CH$	
Z.135	CH_3	H	
Z.136	CH_3	H	
Z.137	C_2H_5	H	
Z.138	CH_2OCH_3	H	
Z.139	CH_3	$CH_2C\equiv CH$	

Z.140	CH_3	H	
Z.141	CH_3	H	
Z.142	CH_3	H	
Z.143	CH_3	H	
Z.144	CH_3	H	
Z.145	CH_3	H	
Z.146	CH_3	H	
Z.147	CH_3	H	
Z.148	CH_3	H	
Z.149	CH_3	H	
Z.150	CH_3	H	
Z.151	CH_3	H	
Z.152	CH_3	H	
Z.153	CH_3	H	
Z.154	CH_3	H	
Z.155	CH_3	H	

Z.156	CH_3	H	
Z.157	CH_3	H	
Z.158	CH_3	H	
Z.159	CH_3	H	
Z.160	CH_3	H	
Z.161	CH_3	H	
Z.162	CH_3	H	
Z.163	CH_3	H	
Z.164	CH_3	H	
Z.165	CH_3	H	
Z.166	CH_3	H	 syn or anti
Z.167	CH_3	H	
Z.168	CH_3	H	 syn or anti

Z.169	CH_3	H	
Z.170	CH_3	H	
Z.171	CH_3	H	
Z.172	CH_3	H	
Z.173	CH_3	H	
Z.174	CH_3	H	
Z.175	CH_3	H	
Z.176	CH_3	H	
Z.177	CH_3	H	
Z.178	CH_3	H	
Z.179	CH_3	H	
Z.180	CH_3	H	
Z.181	CH_3	H	

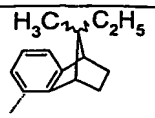
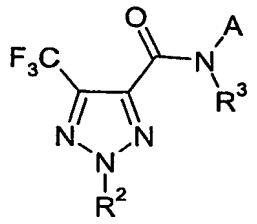
Z.182	CH_3	H	 In either configuration

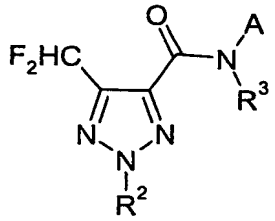
Table 20 provides 182 compounds of formula (I-20):



(I-20)

wherein R^2, R^3 and A are as defined in Table 20.

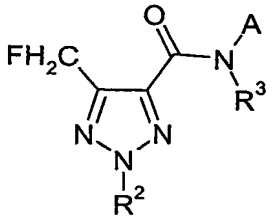
Table 21 provides 182 compounds of formula (I-21):



(I-21)

wherein R^2, R^3 and A are as defined in Table 21.

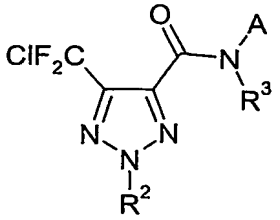
Table 22 provides 182 compounds of formula (I-22):



(I-22)

wherein R^2, R^3 and A are as defined in Table 22.

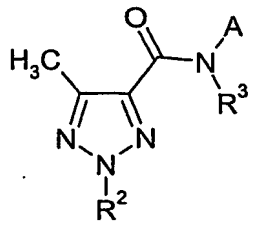
Table 23 provides 182 compounds of formula (I-23):



(I-23)

wherein R^2, R^3 and A are as defined in Table 23.

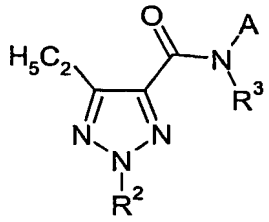
Table 24 provides 182 compounds of formula (I-24):



(I-24)

wherein R^2, R^3 and A are as defined in Table 24.

Table 25 provides 182 compounds of formula (I-25):



(I-25)

wherein R^2, R^3 and A are as defined in Table 25.

Table 26 provides 133 compounds of formula (IIIa) where R^{13}, R^{14}, R^{15}, R^{16}, Q and X are as defined in Table 26. Q is shown to be either a single bond (-) or a double bond (=).

Table 26

Compound. No.	R^{13}	R^{14}	R^{15}	R^{16}	Q	X
26.001	CH_3	CH_3	H	H	=	O
26.002	CH_3	H	H	H	=	O
26.003	H	CH_3	H	H	=	O
26.004	CH_3	CH_3	$C(O)CH_3$	H	=	O
26.005	CH_3	CH_3	H	$C(O)CH_3$	=	O
26.006	CH_3	$C(O)CH_3$	H	H	=	O
26.007	H	H	H	H	=	O
26.008	CF_3	CF_3	H	H	=	O
26.009	OCH_3	OCH_3	H	H	=	O
26.010	H	H	CH_3	CH_3	=	O
26.011	C_2H_5	C_2H_5	H	H	=	O
26.012	CH_3	H	CH_3	H	=	O
26.013	H	CH_3	H	CH_3	=	O
26.014	CH_3	CH_3	H	H	–	O
26.015	CH_3	H	H	H	–	O
26.016	H	CH_3	H	H	–	O
26.017	CH_3	CH_3	$C(O)CH_3$	H	–	O
26.018	CH_3	CH_3	H	$C(O)CH_3$	–	O
26.019	CH_3	$C(O)CH_3$	H	H	–	O
26.020	H	H	H	H	–	O
26.021	CF_3	CF_3	H	H	–	O
26.022	OCH_3	OCH_3	H	H	–	O

26.023	H	H	CH_3	CH_3	–	O
26.024	C_2H_5	C_2H_5	H	H	–	O
26.025	CH_3	H	CH_3	H	–	O
26.026	H	H	H	H	–	CH_2
26.027	CH_3	H	CH_3	H	–	CH_2
26.028	CH_3	H	CH_3	H	=	CH_2
26.029	H	CH_3	H	CH_3	–	CH_2
26.030	H	CH_3	H	CH_3	=	CH_2
26.031	CH_3	CH_3	CH_3	CH_3	=	CH_2
26.032	CH_3	CH_3	CH_3	CH_3	–	CH_2
26.033	CH_3	CH_3	CH_3	CH_3	=	$CH(CH_3)$ syn or anti
26.034	CH_3	CH_3	CH_3	CH_3	–	$CH(CH_3)$ syn or anti
26.035	H	H	H	H	=	$CH(CH_3)$ syn or anti
26.036	H	H	H	H	–	$CH(CH_3)$ syn or anti
26.037	H	H	H	H	–	$CH(C_2H_5)$ syn or anti
26.038	H	H	H	H	–	CH_2CH_2
26.039	CH_3	CH_3	H	H	=	CH_2CH_2
26.040	CH_3	CH_3	H	H	–	CH_2CH_2
26.041	H	H	CH_3	CH_3	=	CH_2CH_2
26.042	H	H	CH_3	CH_3	–	CH_2CH_2
26.043	H	H	OCH_3	H	–	CH_2CH_2
26.044	H	H	H	OCH_3	–	CH_2CH_2
26.045	H	H	H	H	–	$CH_2CH_2CH_2$
26.046	H	H	H	H	=	$CH_2CH_2CH_2$
26.047	H	H	CH_3	CH_3	=	$C(CH_3)_2$
26.048	H	H	CH_3	CH_3	–	$C(CH_3)_2$
26.049	CH_3	CH_3	CH_3	CH_3	=	$C(CH_3)_2$
26.050	CH_3	CH_3	CH_3	CH_3	–	$C(CH_3)_2$
26.051	CH_3	H	CH_3	H	–	$C(CH_3)_2$
26.052	H	CH_3	H	CH_3	–	$C(CH_3)_2$
26.053	CH_3	H	CH_3	H	=	$C(CH_3)_2$
26.054	H	CH_3	H	CH_3	=	$C(CH_3)_2$
26.055	CH_3	CH_3	CH_3	CH_3	–	$C(CH_3)(C_2H_5)$
26.056	H	H	H	H	–	$C(CH_3)_2$
26.057	H	H	H	H	=	$C(CH_3)_2$
26.058	CH_3	CH_3	H	H	–	$C(CH_3)_2$
26.059	CH_3	CH_3	H	H	=	$C(CH_3)_2$
26.060	H	H	H	H	=	$C(OCH_3)_2$
26.061	H	H	H	H	–	$CH(OCH_3)$
26.062	H	H	H	H	=	S
26.063	H	H	H	H	–	S
26.064	CH_3	CH_3	H	H	=	S
26.065	CH_3	CH_3	H	H	–	S
26.066	H	H	CH_3	CH_3	=	S
26.067	H	H	CH_3	CH_3	–	S
26.068	OCH_3	OCH_3	H	H	=	S
26.069	OCH_3	OCH_3	H	H	–	S
26.070	H	CH_3	H	H	=	S
26.071	H	CH_3	H	H	–	S
26.072	CH_3	H	H	H	=	S

26.073	CH_3	H	H	H	–	S
26.074	CH_3	H	CH_3	H	=	S
26.075	CH_3	H	CH_3	H	–	S
26.076	H	CH_3	H	CH_3	=	S
26.077	H	CH_3	H	CH_3	–	S
26.078	H	OCH_3	H	H	=	S
26.079	H	OCH_3	H	H	–	S
26.080	OCH_3	H	H	H	=	S
26.081	OCH_3	H	H	H	–	S
26.082	CH_3	H	CH_3	CH_3	=	S
26.083	CH_3	H	CH_3	CH_3	–	S
26.084	H	CH_3	CH_3	CH_3	=	S
26.085	H	CH_3	CH_3	CH_3	–	S
26.086	H	H	CH_3	H	=	S
26.087	H	H	CH_3	H	–	S
26.088	H	H	H	CH_3	=	S
26.089	H	H	H	CH_3	–	S
26.090	H	H	OCH_3	H	=	S
26.091	H	H	OCH_3	H	–	S
26.092	H	H	H	OCH_3	=	S
26.093	H	H	H	OCH_3	–	S
26.094	H	H	H	H	=	$N(CH_3)$
26.095	H	H	H	H	–	$N(CH_3)$
26.096	CH_3	CH_3	H	H	=	$N(CH_3)$
26.097	CH_3	CH_3	H	H	–	$N(CH_3)$
26.098	H	H	H	H	=	$N(C_2H_5)$
26.099	H	H	H	H	–	$N(C_2H_5)$
26.100	H	H	H	H	–	NH
26.101	H	H	H	H	–	$NC(O)OC(CH_3)_3$
26.102	CH_3	CH_3	H	H	–	$NC(O)OC(CH_3)_3$
26.103	H	H	H	H	–	N(CHO)
26.104	H	H	H	H	–	$N(C(O)CH_3)$
26.105	CH_3	CH_3	H	H	–	$N(C(O)CH_3$
26.106	H	H	H	H	–	$N(C(O)OCH_3)$
26.107	CH_3	CH_3	H	H	–	$N(C(O)OCH_3)$
26.108	H	H	H	H	–	$N(C(O)OC_2H_5)$
26.109	CH_3	CH_3	H	H	–	$N(C(O)OC_2H_5)$
26.110	H	H	H	H	–	$N(C(O)OCH_2CH_2Cl)$
26.111	CH_3	CH_3	H	H	–	$N(C(O)OCH_2CH_2Cl)$
26.112	H	H	H	H	–	$N(C(O)OC_4H_9$-(*n*))
26.113	CH_3	CH_3	H	H	–	$N(C(O)OC_4H_9$-(*n*))
26.114	H	H	H	H	–	$N(C(O)OC_4H_9$-(*i*))
26.115	CH_3	CH_3	H	H	–	$N(C(O)OC_4H_9$-(*i*))
26.116	H	H	H	H	–	$CH(C_3H_7$-(*i*)) syn or anti
26.117	H	H	H	H	–	$CH(C_3H_7$-(*n*)) syn or anti
26.118	H	H	H	H	–	$CH(C_4H_9$-(*i*)) syn or anti
26.119	H	H	H	H	–	$C(C_2H_4$-(*c*))
26.120	H	H	H	H	–	$C(C_4H_8$-(*c*))
26.121	H	H	H	H	–	$CHCH(C_2H_5)_2$ syn or anti
26.122	H	H	H	H	–	$CHCH_2(C_3H_5$-(*c*)) syn or anti

26.123	H	H	H	H	–	$CH(C_5H_9\text{-}(c))$ syn or anti
26.124	H	H	H	H	–	$CHCH_2OC(=O)CH_3$ syn or anti
26.125	H	H	H	H	–	CH(CH=O) syn or anti
26.126	H	H	H	H	–	$CHCH_2OH$
26.127	H	H	H	H	–	$C(OC_3H_7\text{-}(n))_2$
26.128	H	H	H	H	–	C=O
26.129	H	H	H	H	–	$CHCH_2\text{-}C_6H_5$ syn or anti
26.130	H	H	H	H	–	$C{=}C(CH_3)_2$
26.131	H	H	H	H	–	$C{=}C(C_2H5)_2$
26.132	H	H	H	H	–	cyclopentylidene
26.133	H	H	H	H	–	$C(CH_3)(C_2H_5)$ In either configuration

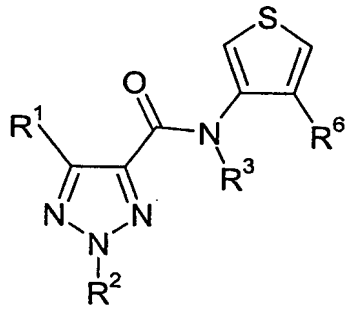
Table ZZ represents Table 27 (when ZZ is 27) and represents Table 28 (when ZZ is 28).

Table ZZ

Compound No.	R^3	R^6	R^2	R^1
ZZ.1	H	$SiMe_3$	Me	CF_3
ZZ.2	H	$SiMe_3$	Me	CF_2H
ZZ.3	H	CH_2SiMe_3	Me	CF_3
ZZ.4	H	CH_2SiMe_3	Me	CF_2H
ZZ.5	propargyl	CH_2SiMe_3	Me	CF_3
ZZ.6	H	$CHMeSiMe_3$	Me	CF_3
ZZ.7	H	$CHMeSiMe_3$	Me	CF_2H
ZZ.8	propargyl	$CHMeSiMe_3$	Me	CF_3
ZZ.9	allenyl	$CHMeSiMe_3$	Me	CF_3
ZZ.10	COMe	$CHMeSiMe_3$	Me	CF_3
ZZ.11	H	$CHMeSiMe_3$	Me	Me
ZZ.12	H	$(CH_2)_2SiMe_3$	Me	CF_3
ZZ.13	H	$(CH_2)_2SiMe_3$	Me	CF_2H
ZZ.14	propargyl	$(CH_2)_2SiMe_3$	Me	CF_3
ZZ.15	H	$(CH_2)_2SiMe_3$	Me	Me
ZZ.16	H	$(CH_2)_2SiMe_3$	CF_3	CF_3
ZZ.17	H	$CHMeCH_2SiMe_3$	Me	CF_3
ZZ.18	H	$CHMeCH_2SiMe_3$	Me	CF_2H
ZZ.19	propargyl	$CHMeCH_2SiMe_3$	Me	CF_3
ZZ.20	propargyl	$CHMeCH_2SiMe_3$	Me	CF_2H
ZZ.21	H	$CHMeCH_2SiMe_3$	Me	Me
ZZ.22	H	$CHMeCH_2SiMe_3$	CF_3	CF_3
ZZ.23	COMe	$CHMeCH_2SiMe_3$	Me	CF_3
ZZ.24	H	$(CH_2)_3SiMe_3$	Me	CF_3
ZZ.25	H	$(CH_2)_3SiMe_3$	Me	CF_2H
ZZ.26	H	$CH_2Si(Me_2)Et$	Me	CF_3

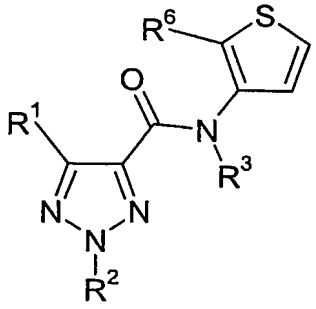
ZZ.27	H	$CH_2Si(Me_2)Et$	Me	CF_2H
ZZ.28	H	$CH_2Si(Me_2)CHMe_2$	Me	CF_3
ZZ.29	H	$CH_2Si(Me_2)CHMe_2$	Me	CF_2H
ZZ.30	H	$CH_2CHMeSiMe_3$	Me	CF_3
ZZ.31	H	$CH_2CHMeSiMe_3$	Me	CF_2H
ZZ.32	H	$CMe_2CH_2SiMe_3$	Me	CF_3
ZZ.33	H	$CMe_2CH_2SiMe_3$	Me	CF_2H
ZZ.34	H	$CHMeCHMeSiMe_3$	Me	CF_2H
ZZ.35	H	$CHMeCHMeSiMe_3$	Me	CF_3
ZZ.36	H	$CH_2CMe_2SiMe_3$	Me	CF_3
ZZ.37	H	$CH_2CMe_2SiMe_3$	Me	CF_2H
ZZ.38	H	$CHMe(CH_2)_2SiMe_3$	Me	CF_2H
ZZ.39	H	$CHMe(CH_2)_2SiMe_3$	Me	CF_3
ZZ.40	H	$(CH_2)_2SiMe_3$	CH_2OMe	CH_2Me
ZZ.41	H	$(CH_2)_2SiMe_3$	CH_2OCH_2Me	CH_2Me
ZZ.42	H	$SiMe_2CH_2CHMe_2$	Me	CF_3

Table 27 provides 42 compounds of formula (I-27) where R^1, R^2, R^3 and R^6 are as defined in Table 9.



(I-27)

Table 28 provides 42 compounds of formula (I-28) where R^1, R^2, R^3 and R^6 are as defined in Table 10.



(I-28)

Throughout this description, temperatures are given in degrees Celsius; "NMR" means nuclear magnetic resonance spectrum; MS stands for mass spectrum; "%" is percent by weight, unless corresponding concentrations are indicated in other units; "syn" refers to a syn configuration of the relevant substituent with respect to the annellated

benzene ring; and "anti" refers to an anti configuration of the relevant substituent with respect to the anellated benzene ring.

The following abbreviations are used throughout this description:

m.p. = melting point
b.p.= boiling point.
s = singlet
br = broad
d = doublet
dd = doublet of doublets
t = triplet
q = quartet
m = multiplet
ppm = parts per million

Table 29 shows selected melting point data for compounds of Tables 1 to 28.

Table 29

Compound No.	m.p. / (°C)
1.03	56-57
1.13	176-177
1.15	liquid
1.50	64-66
2.005	146-147
2.017	148
2.029	148-149
2.067	165-166
2.070	139-142
2.219	94.6-95.4
2.273	125-126
2.321	124-125
2.411	117-118
2.427	103-105
2.423	105
2.445 (trans)	98-99
2.452	123-125
2.454	161-163
2.456	122-123
3.005	143-145
3.017	155-156
3.029	154-155
3.067	144-145
3.070	136-137
3.219	71-73
3.273	87-88
3.321	121-122
3.407	83-85
3.411	91-93
3.427	75-76

3.423	121-122
3.445	94-95
3.452	161-162
3.454	144-145
3.456	133-135
4.017	158-159
4.273	89-91
4.411	84-86
4.445	84-85
4.452	143-144
4.456	122-124
8.189	104-106
9.189	82-83
20.017	167-169
20.021	121-122
20.065	144-145
20.073	157-158
20.097	108-109
20.101	155-157
20.115	137-139
20.120	160-161
20.147	159-162 (decomposition)
20.148	133-139
20.149	amorphous
20.161	amorphous
20.166 (syn:anti 90:10)	150-153
20.166 (syn:anti 34:66)	111-116
20.168 (syn:anti 40:60)	102-120
20.169 (syn:anti 86:14)	105-109
20.170 (syn:anti 74:26)	amorphous
20.171 (syn:anti 16: 84)	106-107
20.171 (syn:anti 81:19)	amorphous
20.176 (syn:anti 80:20)	126-129
20.179	187-189
20.180	109-110
21.097	107-109
21.101	120-122
21.017	175-177
21.021	125-126
21.065	114-116
21.073	135-137
21.105	140-143
21.114	189-191
21.115	164-166
21.120	172-175
21.148	134-136

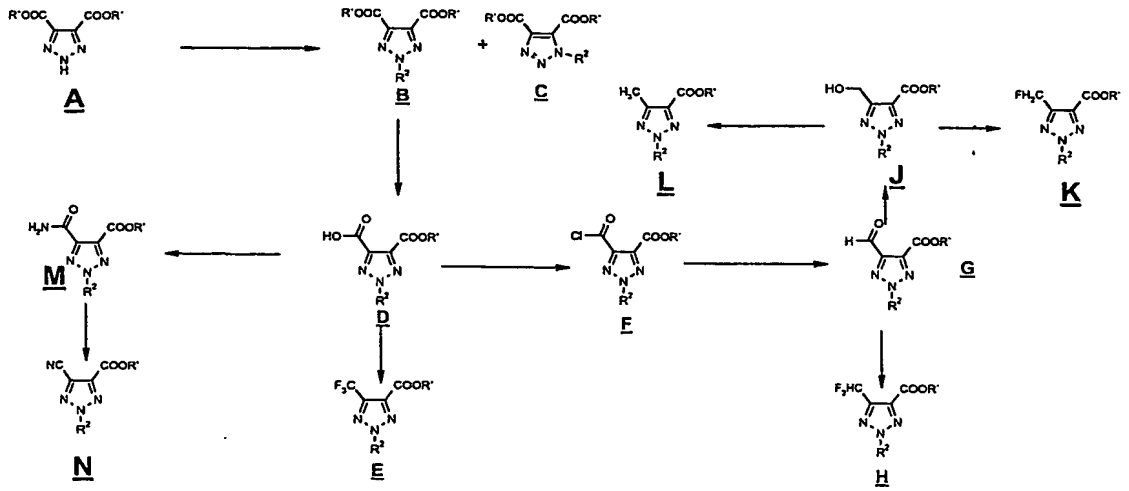
21.152	170-172
21.153	amorphous
21.154	120-122
21.155	amorphous
21.161	amorphous
21.165(syn)	106-108
21.166 (syn:anti 90:10)	148-149
22.101	97-98
22.115	135-138
22.147	viscous
22.148	130-132
22.149	amorphous
22.161	amorphous
26.001	92-96
26.007	121-124
26.014	92-93
26.015	115-116
26.016	92-93
26.020	75-76
26.026	63-64
26.038	74-75
26.095	139-140
26.099	viscous
26.100	viscous
26.101	89-90
26.102	94-95
26.103	176-177
26.105	110-111
26.106	104-105
26.107	114-115
26.108	viscous
26.110	viscous
26.112	viscous
26.114	viscous
26.116 (syn:anti 86:14)	waxy solid
26.116 (syn:anti 35:65)	oil
26.118 (syn:anti 10:90)	viscous
26.118 (syn:anti 82:18)	viscous
26.119	oil
26.121 (syn:anti 50:50)	oil
26.122 (syn:anti 84:14)	oil
26.123 (syn:anti 75:25)	73-78
26.128 (syn:anti 74:26)	oil
26.129	81-82
26.130	oil

The compounds according to formula (I) may be prepared according to the following reaction schemes.

(a) Preparation of a compound of formula (II).

Schemes 1, 2 and 3 demonstrate that a compound of formula **E**, **H**, **K**, **L**, **N**, **O**, **P**, **R**, **S**, **T**, **U**, **V**, **W**, **Y** or **Z** [where R^1 and R^2 are as defined above for formula (II); and R' is C_{1-5} alkyl] {each of which is a compound of formula (II), as defined above} may be prepared by a reaction sequence starting with a 1,2,3-triazole-4,5-dicarboxylic acid diester of formula **A** [Y.Tanaka et al., *Tetrahedron, 29*, 3271 **(1973)**] [where each R' is, independently, C_{1-5} alkyl] (preferably the dimethyl ester).

Scheme 1



Treatment of **A** with an alkylating agent [such as R^2-halo (where R^2 is as defined above for formula (II); and halo is preferably iodo) or an appropriate sulphate, sulphonate or carbonate ester] in the presence of a base [such as K_2CO_3, Na_2CO_3 or NEt_3] in a suitable solvent [such as acetonitrile, DMF or dimethylacetamide] at ambient to elevated temperatures furnishes a mixture of regioisomers, of formulae **B** and **C**, which may be separated by conventional methods. Saponification of a compound of formula **B** with up to one equivalent of a base [such as KOH, NaOH or LiOH] in a protic solvent [such as methanol], preferably under reflux conditions, provides a mono-ester of formula **D**. Subsequent reaction of a compound of formula **D** with a fluorinating agent [such as DAST (diethylamino sulphur trifloride) or, preferably, SF_4] in the presence of hydrofluoric acid gives a 5-CF_3-1,2,3-triazole-4-carboxylic acid ester of formula **E**.

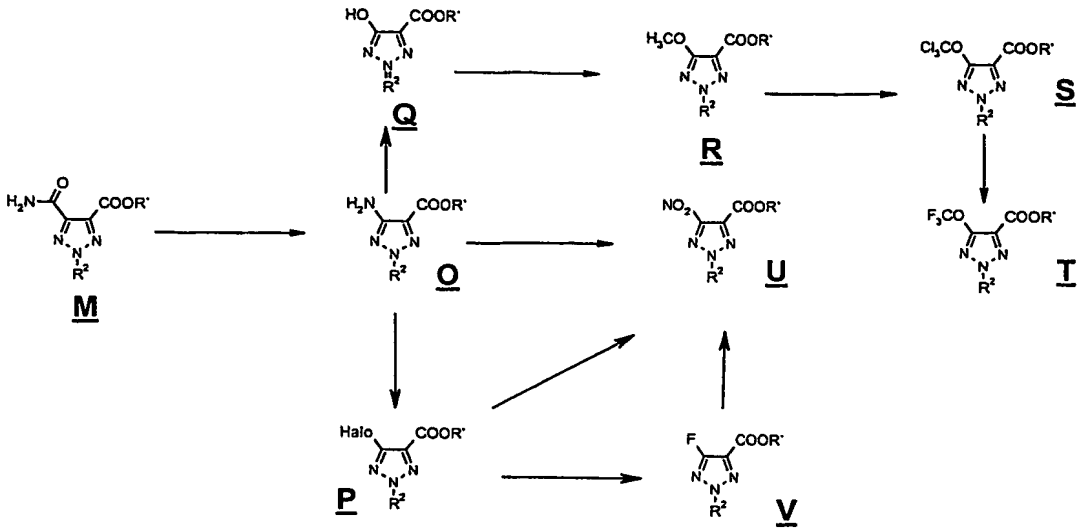
Alternatively, treatment of a compound of formula **D** with a chlorinating agent [such as thionyl chloride or phosgene] under standard conditions results in an acid chloride of formula **F** which may be reduced catalytically in an inert solvent [for example ethyl acetate or THF] in the presence of a base [for example Hünig base] to give an aldehyde-ester of formula **G** (modified *Rosenmund* conditions). Fluorination of a compound of formula **G** by means of DAST, dimethoxy-DAST or SF_4 in the presence of hydrofluoric acid, optionally with solvent, preferably at elevated temperatures, forms a 5-difluoromethyl-1,2,3-triazole-4-carboxylic acid ester of formula **H**.

Metal hydride reduction of a compound of formula **G** [for example by $NaBH_4$ or $LiBH_4$] in methanol provides a 5-hydroxymethyl-1,2,3-triazole of formula **J**, from which a 5-fluoromethyl derivative of formula **K** may be obtained by fluorination under mild conditions, preferably with DAST at low temperatures (0 to –78°C) in an inert solvent [such as dichloromethane].

Alternatively, hydride reduction of a compound of formula **J** by conventional methods [for example *via* its mesylate, tosylate or iodide] results in a 5-methyl-1,2,3-triazole of formula **L**.

Chlorination of compound of formula **D** [for example by thionyl chloride] followed by treatment with ammonia, preferably in a protic solvent [such as water, methanol or ethanol] furnishes an amide of formula **M** from which a 5-cyano-1,2,3-triazole of formula **N** may be obtained by means of a dehydrating agent [such as phosphorylchloride].

Scheme 2



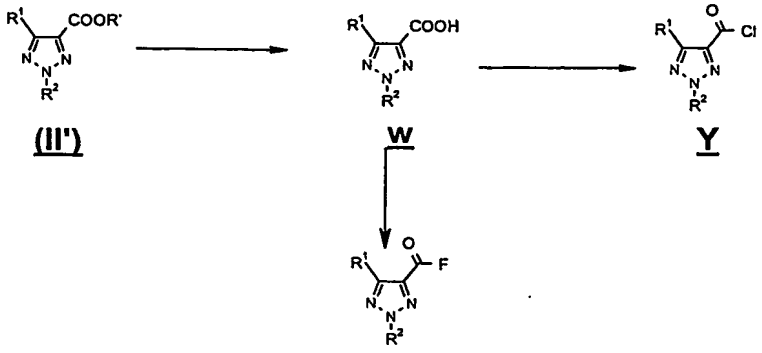
Further transformations to prepare a compound of formula (II) [where R^1 and R^2 are as defined above for formula (I); Y is OR' and R' is C_{1-5} alkyl] include a *Hofmann* rearrangement of an amide of formula **M** with NaOBr or NaOCl in the presence of NaOH to give a 5-amino-1,2,3-triazole of formula **O**.

Diazotation of a compound of formula **O** by means of sodium nitrite under aqueous acidic conditions [for example sulphuric acid] or with a nitrite ester [for example (*i*)-amyl nitrite] in an organic solvent [for example acetone, dichloromethane or THF] in the presence of a halogenide [such as CuCl or CuBr] gives a 5-halo-1,2,3-triazole of formula **P** [where halo is Cl or Br] which on treatment with a fluorinating agent [such as KF or CsF], preferably in DMF or N-methylpyrrolidone at elevated temperatures, results in a 5-fluoro-1,2,3-triazole of formula **V**.

By diazotation of a compound of formula **O** and subsequent acidic aqueous hydrolysis under heating, a 5-hydroxy-1,2,3-triazole of formula **Q** may be obtained. Treatment of a compound of formula **Q** with an alkylating agent [such as methyl iodide, dimethylsulphate or dimethylcarbonate] and a base [for example NaH, K_2CO_3 or Na_2CO_3] in a polar solvent [for example DMF, DMSO or CH_3CN] gives a 5-methoxy-1,2,3-triazole of formula **R** which may be converted to a trichloromethoxy derivative of formula **S** with a chlorinating agent [such as chlorine] in the presence of azoisobutyronitrile (AIBN) or ultra-violet irradiation at elevated temperature. By treatment of a compound of formula **S** with a fluorinating agent [for example KF or SbF_3] a 5-trifluoromethoxy-1,2,3-triazole of formula **T** may be prepared.

Oxidation of a compound of formula **O** with [for example sodium perborate] or treatment according to A. Sudalai et al. [*Angew. Chem. Int. Ed. 40*, 405 (2001)] leads to a 5-nitro derivative of formula **U**. Alternatively, a compound of formula **U** may also be obtained by treatment of a compound of formula **P** or **V** with $NaNO_2$ in an polar solvent [such as DMF, sulpholane or N-methylpyrrolidone] at elevated temperatures.

Scheme 3



Transformations of a compound of formula (**II'**) [where R^1 and R^2 are as defined in formula (**I**); Y is OR'; and R' is C_{1-5} alkyl] to give a compound of formula (**II**) [where R^1 and R^2 are as defined in formula (**I**) and Y is halo or hydroxy] includes saponification with a base [such as KOH or NaOH] in a protic solvent [such as methanol, ethanol or water], at ambient or elevated temperature to give a 1,2,3-triazole-4-carboxylic acid of formula **W**. Chlorination of a compound of formula **W** under standard conditions [for example with thionyl chloride, phosgene or oxalyl chloride] yields an acid chloride of formula **Y**.

Fluorination of a compound of formula **W** with DAST or SF_4 under mild conditions [low to ambient temperatures], preferably in an inert solvent [such as dichloromethane] gives an acid fluoride of formula **Z**.

(b) Preparation of a compound of formula (III).

A compound of formula (III)

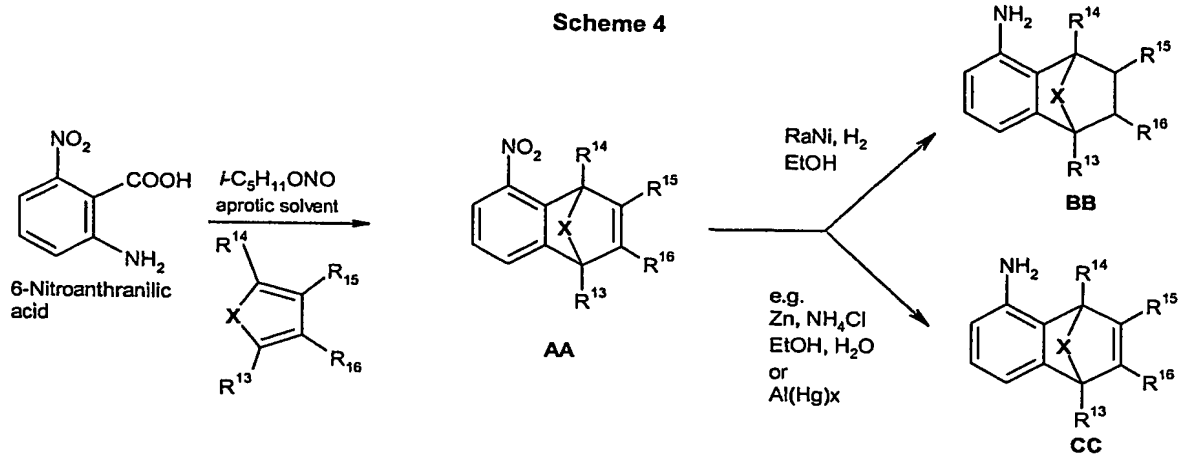
$$H_2N-A \quad (III)$$

where A is as defined above for a compound of formula (I), is useful as an intermediate in the preparation of a compound of formula (I).

Most *o*-substituted amino-aryls and amino-heteroaryls of formula (III) are known from the literature, but some are novel.

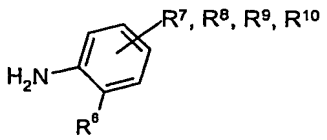
A compound of formula (IIIa) may be obtained according to scheme 4:

Scheme 4



Treatment of an *ortho*-substituted nitrobenzonorbornadiene of formula **AA** (where R^{13}, R^{14}, R^{15}, R^{16} and X are as defined above for a compound of formula (I)) [obtained through *Diels-Alder* addition of an *in situ* generated benzyne, for example, starting from a 6-nitroanthranilic acid as described by L.Paquette et al, *J. Amer. Chem. Soc. 99*, 3734 (1977) or from other suitable benzyne precursers (see H. Pellissier et al. *Tetrahedron, 59*, 701 (2003) with a 5-7 membered cyclic 1,4-diene according to, or by analogy to, L.Paquette et al, *J. Amer. Chem. Soc. 99*, 3734 (1977), D. Gravel et al. *Can. J. Chem. 69*, 1193 (1991), J.R. Malpass et al. *Tetrahedron, 48*, 861 (1992), D.E. Lewis et al. *Synthetic Communications, 23*, 993 (1993), R.N. Warrener et al. *Molecules, 6*, 353 (2001), R.N. Warrener et al. *Molecules, 6*, 194 (2001) or I. Fleming et al. *J. Chem. Soc., Perkin Trans.1*, 2645 (1998)] with Zn, in the presence of ammonium chloride or an aluminium amalgam, in a protic solvent [such ethanol or water] gives an aniline of formula **CC**, whilst catalytic hydrogenation of a compound of formula **AA** with, for example, RaNi, Pd/C or Rh/C in the presence of a solvent [for example THF, ethyl acetate, methanol or ethanol] affords an aniline of formula **BB**.

Compounds of formula (IIIb)

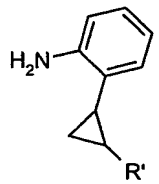


(IIIb)

where R^6 is an aliphatic or alicyclic, saturated or unsaturated group [in which the group contains three to thirteen carbon atoms and at least one silicon atom and, optionally, one to three heteroatoms, each independently selected from oxygen, nitrogen and sulphur, and the group is optionally substituted by up to four independently selected halogen atoms] and R^{7-10} are as defined in formula (I) may be prepared by analogy with literature examples. References include e.g. E.A.Chernyshew et al., *Bull. Acad. Sci. USSR* ,**1960**, 1323; K.T.Kang et al., *Tetrahedron Letters, 32*, 4341 (**1991**), *Synthetic Comm., 24*, 1507 (**1994**); M.Murata et al., *Tetrahedron Letters 40*, 9255 (**1999**); A.Falcou et al., *Tetrahedron 56*, 225 (**2000**); A.Arcadi et al., *Tetrahedron Letters 27*, 6397 (**1986**); K.C.Nicolaou et al., *Chem.Eur. J. 1*, 318 (**1995**); N.Chatani et al., *J.Org. Chem. 60*, 834 (**1995**); T. Stuedemann et al., *Tetrahedron 54*, 1299 (**1998**); P.F.Hurdlik et al., *J. Org. Chem. 54, 5613* (**1989**); K.Karabelas et al., *J. Org. Chem. 51*, 5286 (**1986**); T.Jeffery, *Tetrahedron Letters 40*, 1673 (**1999**) and *Tetrahedron Letters 41*, 8445 (2000); K.Olofson et al., *J. Org. Chem. 63*, 5076 (**1998**); H.Uirata et al., *Bull. Chem. Soc. Jap. 57*, 607 (**1984**); and G.Maas et al., *Tetrahedron 49*, 881 (**1983**); and references cited therein.

Recent reviews for the introduction of Si-containing functionalities into phenyl derivatives can be found in "The Chemistry of Organosilicon Compounds", Vols. 1-3, S.Patai, Z.Rappaport and Z.Rappaport, Y.Apeloid eds., Wiley 1989, 1998, 2001 and "Houben-Weyl Science and Synthesis", Organometallics Vol. 4, I.Fleming ed., G.Thieme 2002.

Another group of anilines comprises compounds of formula (IIIc)

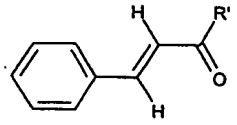


(IIIc)

where R' represents C_{2-4} alkyl, C_{2-4} haloalkyl or C_{3-6} cycloalkyl (itself optionally substituted by up to 3 substituents, independently selected from halo, C_{1-3} alkyl, C_{1-3} haloalkyl and C_{1-4} haloalkoxy).

A compound of formula (IIIc) may be prepared by a reaction sequence starting with a crossed aldol condensation of benzaldehyde with a ketone of formula $CH_3C(O)R'$ [where R' is as defined above for a compound of formula (IIIc)] in the presence of NaOH

or KOH in a solvent (such as water or ethanol) and usually under reflux conditions or alternatively by reaction of benzaldehyde with a Wittig reagent under standard conditions. The resulting α,β-unsaturated ketone of formula (IV) [where R' is as defined above for a compound (IIIc)]:

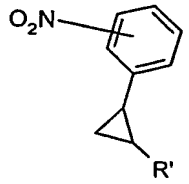


(IV)

may then be converted into a compound of formula (V') [where R' is as defined above for a compound (IIIc)]:

(V')

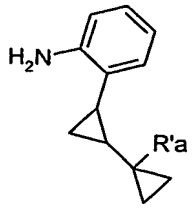
by reacting first with hydrazine hydrate in ethanol under reflux conditions and then heating (in the range of from 150 to 250°C) in the presence of KOH (distilling off the solvent). After nitration with HNO_3-H_2O or HNO_3-acetic anhydride in a cooled vessel (in the range of from –30°C to 0°C), the resulting *o/p*-mixture of a nitrobenzene of formula (VI) [where R' is as defined above for a compound (IIIc)]:



(VI)

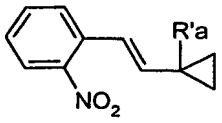
may then be separated and catalytically reduced (Pt/C/ H_2 or Ra-Ni/H_2) in a solvent (such as methanol, ethanol of THF) at ambient temperature to give a compound of formula (IIIc).

Alternatively the synthesis of a compound of formula (IIId) [where R'^a is hydrogen or methyl]



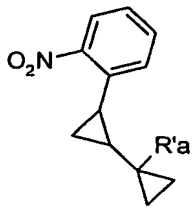
(IIId)

may be accomplished by a reaction sequence started by a Wittig reaction of *o*-nitrobenzaldehyde with an ylide, prepared from a cyclopropylmethyltriphenylphosphonium bromide in the presence of a strong base [such as NaH] in a solvent [such as DMSO], in the range of 0-85°C. The resulting E/Z-mixture of a compound of formula (VII)



(VII)

[where R'^{a} is hydrogen or methyl] may be converted to a compound of formula (VIII)

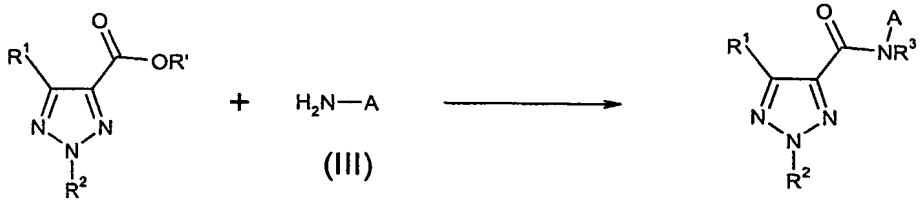


(VIII)

by the application of the Simmons Smith reaction (Zn-Cu, CH_2I_2, ether as a solvent) to the olefin group of a compound of formula (VII) to give a compound of formula (VIII). The reduction of the nitro moiety of a compound of formula (VIII) to give a compound of formula (IIIc) may be performed by using the same conditions as described above for a compound of formula (VI).

(c) Preparation of a compound of formula (I).

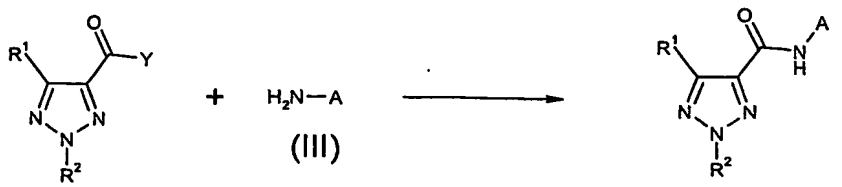
Scheme 5



(II') (I)

A compound of formula (I) [where A, R^1 and R^2 are as defined above and R^3 is H] may be synthesized by reacting a compound of formula (II') [where R^1 and R^2 are as defined above and R' is C_{1-5} alkyl] with an aniline of formula (III) [where A is as defined above for a compound of formula (I)] in the presence of $NaN(TMS)_2$ at –10 °C to ambient temperature, preferably in dry THF, as described by J.Wang et al., *Synlett*, 2001, 1485.

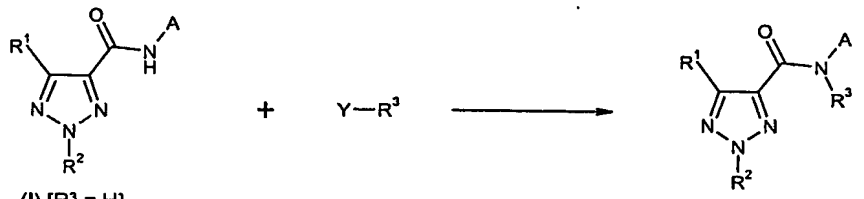
Scheme 6



(II) (I) [R^3 = H]

Alternatively, a compound of formula (I) [where A, R^1 and R^2 are as defined above and R^3 is H] may be prepared by reacting a compound of formula (II) [where R^1 and R^2 are as defined above and Y is OH] with a compound of formula (III) [where A is as defined above for a compound of formula (I)] in the presence of an activating agent [such as BOP-Cl] and two equivalents of a base [such as NEt_3] or by reacting a compound of formula (II) [where Y is Cl, Br or F] with a compound of formula (III) in the presence of one equivalent of a base [such as NEt_3, $NaHCO_3$, $KHCO_3$, Na_2CO_3 or K_2CO_3] in a solvent [such as dichloromethane, ethyl acetate or DMF] preferably at –10 to 30°C.

Scheme 7



(I) [R^3 = H] (I) [R^3 as defined above but not H]

A compound of formula (I) [where R^3 is as defined above for formula (I), except that it is not hydrogen] may be prepared by reacting a compound of formula (I) [where R^3 is hydrogen] with a species Y-R^3 [where R^3 is as defined for formula (I), except that it is not hydrogen; and Y is halogen, preferably Cl, Br or I; or Y is such that Y-R^3 is an anhydride: that is, when R^3 is COR*, Y is OCOR*] in the presence of a base [for example NaH, NEt_3, $NaHCO_3$ or K_2CO_3] in an appropriate solvent [such as ethyl acetate] or in a biphasic mixture [such as dichloromethane/water mixturte], at -10 to 30°C.

Surprisingly, it has now been found that the novel compounds of formula (I) have, for practical purposes, a very advantageous spectrum of activities for protecting plants against diseases that are caused by fungi as well as by bacteria and viruses.

The compounds of formula (I) can be used in the agricultural sector and related fields of use as active ingredients for controlling plant pests. The novel compounds are distinguished by excellent activity at low rates of application, by being well tolerated by

plants and by being environmentally safe. They have very useful curative, preventive and systemic properties and are used for protecting numerous cultivated plants. The compounds of formula I can be used to inhibit or destroy the pests that occur on plants or parts of plants (fruit, blossoms, leaves, stems, tubers, roots) of different crops of useful plants, while at the same time protecting also those parts of the plants that grow later, for example from phytopathogenic microorganisms.

It is also possible to use compounds of formula (I) as dressing agents for the treatment of plant propagation material, in particular of seeds (fruit, tubers, grains) and plant cuttings (e.g. rice), for the protection against fungal infections as well as against phytopathogenic fungi occurring in the soil.

Furthermore the compounds according to present invention may be used for controlling fungi in related areas, for example in the protection of technical materials, including wood and wood related technical products, in food storage, in hygiene management, etc.

The compounds of formula (I) are, for example, effective against the phytopathogenic fungi of the following classes: Fungi imperfecti (e.g. Botrytis, Pyricularia, Helminthosporium, Fusarium, Septoria, Cercospora and Alternaria) and Basidiomycetes (e.g. Rhizoctonia, Hemileia, Puccinia). Additionally, they are also effective against the Ascomycetes classes (e.g. Venturia and Erysiphe, Podosphaera, Monilinia, Uncinula) and of the Oomycetes classes (e.g. Phytophthora, Pythium, Plasmopara). Outstanding activity has been observed against powdery mildew (Erysiphe spp.). Furthermore, the novel compounds of formula I are effective against phytopathogenic bacteria and viruses (e.g. against Xanthomonas spp, Pseudomonas spp, Erwinia amylovora as well as against the tobacco mosaic virus).

Within the scope of present invention, target crops to be protected typically comprise the following species of plants: cereal (wheat, barley, rye, oat, rice, maize, sorghum and related species); beet (sugar beet and fodder beet); pomes, drupes and soft fruit (apples, pears, plums, peaches, almonds, cherries, strawberries, raspberries and blackberries); leguminous plants (beans, lentils, peas, soybeans); oil plants (rape, mustard, poppy, olives, sunflowers, coconut, castor oil plants, cocoa beans, groundnuts); cucumber plants (pumpkins, cucumbers, melons); fibre plants (cotton, flax, hemp, jute); citrus fruit (oranges, lemons, grapefruit, mandarins); vegetables (spinach, lettuce, asparagus,

cabbages, carrots, onions, tomatoes, potatoes, paprika); lauraceae (avocado, cinnamomum, camphor) or plants such as tobacco, nuts, coffee, eggplants, sugar cane, tea, pepper, vines, hops, bananas and natural rubber plants, as well as ornamentals.

The compounds of formula (I) are used in unmodified form or, preferably, together with the adjuvants conventionally employed in the art of formulation. To this end they are conveniently formulated in known manner to emulsifiable concentrates, coatable pastes, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granulates, and also encapsulations e.g. in polymeric substances. As with the type of the compositions, the methods of application, such as spraying, atomising, dusting, scattering, coating or pouring, are chosen in accordance with the intended objectives and the prevailing circumstances. The compositions may also contain further adjuvants such as stabilizers, antifoams, viscosity regulators, binders or tackifiers as well as fertilizers, micronutrient donors or other formulations for obtaining special effects.

Suitable carriers and adjuvants can be solid or liquid and are substances useful in formulation technology, e.g. natural or regenerated mineral substances, solvents, dispersants, wetting agents, tackifiers, thickeners, binders or fertilizers. Such carriers are for example described in WO97/33890.

The compounds of formula (I) are normally used in the form of compositions and can be applied to the crop area or plant to be treated, simultaneously or in succession with further compounds. These further compounds can be e.g. fertilizers or micronutrient donors or other preparations which influence the growth of plants. They can also be selective herbicides as well as insecticides, fungicides, bactericides, nematicides, molluscicides or mixtures of several of these preparations, if desired together with further carriers, surfactants or application promoting adjuvants customarily employed in the art of formulation.

The compounds of formula (I) can be mixed with other fungicides, resulting in some cases in unexpected synergistic activities. Mixing components which are particularly preferred are azoles, such as azaconazole, BAY 14120, bitertanol, bromuconazole, cyproconazole, difenoconazole, diniconazole, epoxiconazole, fenbuconazole, fluquinconazole, flusilazole, flutriafol, hexaconazole, imazalil, imibenconazole, ipconazole, metconazole, myclobutanil, pefurazoate, penconazole, pyrifenox, prochloraz, propiconazole, simeconazole, tebuconazole, tetraconazole, triadimefon,

triadimenol, triflumizole, triticonazole; pyrimidinyl carbinole, such as ancymidol, fenarimol, nuarimol; 2-amino-pyrimidines, such as bupirimate, dimethirimol, ethirimol; morpholines, such as dodemorph, fenpropidine, fenpropimorph, spiroxamine, tridemorph; anilinopyrimidines, such as cyprodinil, mepanipyrim, pyrimethanil; pyrroles, such as fenpiclonil, fludioxonil; phenylamides, such as benalaxyl, furalaxyl, metalaxyl, R-metalaxyl, ofurace, oxadixyl; benzimidazoles, such as benomyl, carbendazim, debacarb, fuberidazole, thiabendazole; dicarboximides, such as chlozolinate, dichlozoline, iprodione, myclozoline, procymidone, vinclozoline; carboxamides, such as carboxin, fenfuram, flutolanil, mepronil, oxycarboxin, thifluzamide; guanidines, such as guazatine, dodine, iminoctadine; strobilurines, such as azoxystrobin, kresoxim-methyl, metominostrobin, SSF-129, trifloxystrobin, picoxystrobin, BAS 500F (proposed name pyraclostrobin), BAS 520; dithiocarbamates, such as ferbam, mancozeb, maneb, metiram, propineb, thiram, zineb, ziram; N-halomethylthiotetrahydrophthalimides, such as captafol, captan, dichlofluanid, fluoromides, folpet, tolyfluanid; Cu-compounds, such as Bordeaux mixture, copper hydroxide, copper oxychloride, copper sulfate, cuprous oxide, mancopper, oxine-copper; nitrophenol-derivatives, such as dinocap, nitrothal-isopropyl; organo-p-derivatives, such as edifenphos, iprobenphos, isoprothiolane, phosdiphen, pyrazophos, tolclofos-methyl; various others, such as acibenzolar-S-methyl, anilazine, benthiavalicarb, blasticidin-S, chinomethionate, chloroneb, chlorothalonil, cyflufenamid, cymoxanil, dichlone, diclomezine, dicloran, diethofencarb, dimethomorph, SYP-LI90 (proposed name: flumorph), dithianon, ethaboxam, etridiazole, famoxadone, fenamidone, fenoxanil, fentin, ferimzone, fluazinam, flusulfamide, fenhexamid, fosetyl-aluminium, hymexazol, iprovalicarb, IKF-916 (cyazofamid), kasugamycin, methasulfocarb, metrafenone, nicobifen, pencycuron, phthalide, polyoxins, probenazole, propamocarb, pyroquilon, quinoxyfen, quintozene, sulfur, triazoxide, tricyclazole, triforine, validamycin, zoxamide (RH7281).

A preferred method of applying a compound of formula (I), or an agrochemical composition which contains at least one of said compounds, is foliar application. The frequency of application and the rate of application will depend on the risk of infestation by the corresponding pathogen. However, the compounds of formula I can also penetrate the plant through the roots via the soil (systemic action) by drenching the locus of the plant with a liquid formulation, or by applying the compounds in solid form to the soil,

e.g. in granular form (soil application). In crops of water rice such granulates can be applied to the flooded rice field. The compounds of formula I may also be applied to seeds (coating) by impregnating the seeds or tubers either with a liquid formulation of the fungicide or coating them with a solid formulation.

A formulation [that is, a composition containing the compound of formula (I)] and, if desired, a solid or liquid adjuvant, is prepared in a known manner, typically by intimately mixing and/or grinding the compound with extenders, for example solvents, solid carriers and, optionally, surface active compounds (surfactants).

The agrochemical formulations will usually contain from 0.1 to 99% by weight, preferably from 0.1 to 95% by weight, of the compound of formula I, 99.9 to 1% by weight, preferably 99.8 to 5% by weight, of a solid or liquid adjuvant, and from 0 to 25% by weight, preferably from 0.1 to 25% by weight, of a surfactant.

Advantageous rates of application are normally from 5g to 2kg of active ingredient (a.i.) per hectare (ha), preferably from 10g to 1kg a.i./ha, most preferably from 20g to 600g a.i./ha. When used as seed drenching agent, convenient dosages are from 10mg to 1g of active substance per kg of seeds.

Whereas it is preferred to formulate commercial products as concentrates, the end user will normally use dilute formulations.

The following non-limiting Examples illustrate the above-described invention in more detail.

EXAMPLE 1

This Example illustrates the preparation of Compound No. 1.15 [2-methyl-5-trifluoromethyl-2H-1,2,3-triazole-4-carboxylic acid methyl ester] and Compound No. 1.13 [2-methyl-5-trifluoromethyl-2H-1,2,3-triazole-4-carboxylic acid].

a) Preparation of 2-methyl-2H-1,2,3-triazole-4,5-dicarboxylic acid dimethylester and 1-methyl-1H-1,2,3-triazole-4,5-dicarboxylic acid dimethylester.

1,2,3-Triazole-4,5-dicarboxylic acid dimethyl ester (Y. Tanaka et al. *Tetrahedron 29*, 3271 (1973)) (74.06g; 0.40mol), potassium carbonate (110.57g; 0.80mol) and methyl iodide (73.81g; 0.52mol) were reacted in acetonitrile (1000ml) at 40°C for 20minutes and then for 20hours at ambient temperature. The mixture was poured onto ice-water and extracted with ether to give the crude product (70.66g) as a mixture of isomers. Separation on silica gel in ethyl acetate-hexane (2:3) yielded 36.51g (46%) of 2-methyl-

2H-1,2,3-triazole-4,5-dicarboxylic acid dimethylester [m.p. 86-87°C; ^{1}H-NMR (300 MHz, DMSO-d_6), δ(ppm): 4.27(s,3H), 3.88(s,6H)] and 26.92g (34%) of 1-methyl-1H-1,2,3-triazole-4,5-dicarboxylic dimethylester [m.p. 63-64°C; ^{1}H-NMR (300MHz, DMSO-d_6), δ(ppm): 4.19(s,3H), 3.93(s,3H), 3.87(s,3H)].

b) Preparation of 2-methyl-2H-1,2,3-triazole-4,5-dicarboxylic acid monomethyl ester

To a solution of 2-methyl-2H-1,2,3-triazole-4,5-dicarboxylic acid dimethylester (1.2g; 6mmol) in 30ml methanol was added 358mg KOH (assay 86%; 5.5mmol). The mixture was heated at reflux temperature for 48hours. The solvent was evaporated and the residue was then taken into water and extracted with ethyl acetate (3 times). The combined organic phases contained non-reacted starting material. The aqueous phase was acidified with 2N HCl (pH2-3) and extracted with ethyl acetate (3 times). The extracts were combined, dried (anhydrous MgSO4) and evaporated to dryness to give 803mg (72%) of the desired compound (m.p. 125-126°C; ^{1}H-NMR (300 MHz, DMSO-d_6), δ(ppm): 13.7(br.s,1H, exchangable with D_2O), 4.24(s,3H), 3.84(s,3H).

c) Preparation of 2-methyl-5-trifluoromethyl-2H-1,2,3-triazole-4-carboxylic acid methyl ester [Compound Number 1.15].

2-Methyl-2H-1,2,3-triazole-4,5-dicarboxylic acid monomethyl ester (2.9g; 15.66mmol) and dichloromethane (160ml) were placed in an 0.3litre monel autoclave. Under an inert atmosphere and cooling with dry ice, gaseous HF (27g) was introduced at -50°C followed by gaseous SF_4 (distilled, 6.9g; 64.23mmol). The autoclave was heated to 80°C for 6hours. The maximum pressure amounted 9.8bar. After cooling to ambient temperature the reaction mixture was poured onto ice-dichloromethane and adjusted to pH7 with aqueous $NaHCO_3$. Extraction with dichloromethane (3 times), drying over Na_2SO_4 and evaporation under reduced pressure afforded the crude product. Purification by Kugelrohr-distillation at 3mbar and ca.180°C gave 2.8g (85%) of Compound No.1.15 as a yellowish liquid.

^{1}H-NMR (300 MHz, $CDCl_3$), δ(ppm): 4.29(s,3H), 3.97(s,3H);

^{19}F-NMR (235 MHz, $CDCl_3$), δ (ppm): -61.7.

^{13}C-NMR (125 MHz, $CDCl_3$), δ(ppm): 159.05, 139.65 (q, $J_{C(5)F}$ = 40.8 Hz), 137.20, 119.63 (q, J_{CF} = 269.4 Hz, CF_3), 52.96, 43.01.

d) Preparation of 2-methyl-5-trifluoromethyl-2H-1,2,3-triazole-4-carboxylic acid [Compound Number 1.13]

A solution of 2-methyl-5-trifluoromethyl-2H-1,2,3-triazole-4-carboxylic acid methyl ester [Compound Number 1.15] (2.09g; 0.01mol) and KOH (86 %; 0.783g; 1.2eq.) in THF (50ml) was heated at reflux temperature for 3.5 hours. The solution was evaporated, the residue was dissolved in water and acidified to pH 1-2 with HCl (1M). Evaporation of the aqueous solution followed by continuous extration in ethylacetate for 20 hours gave of Compound No 1.13 (2.11g; 100%) as a crystalline solid.

^{1}H-NMR (400 MHz, DMSO-d_6), δ(ppm): 4.19(s,3H).

^{19}F-NMR (235 MHz, DMSO-d_6), δ (ppm): -59.3.

^{13}C-NMR (125 MHz, DMSO-d_6), δ(ppm): 160.74, 144.08, 135.81 (q, $J_{C(5)F}$ = 38.1 Hz), 120.63 (q, J_{CF} = 268.4 Hz, CF_3), 42.20.

EXAMPLE 2

This Example illustrates the preparation of Compound No.1.03 [2-methyl-5-difluoromethyl-2H-1,2,3-triazole-5-carboxylic acid methyl ester].

a) Preparation of 5-Chlorocarbonyl-2-methyl-2H-1,2,3-triazole-4-carboxylic acid methyl ester.

Methyl 2-methyl-1,2,3-triazole-4,5-dicarboxylate (2.3g; 0.011mol) was reacted with oxalyl chloride (1.46ml; 0.014mol) plus two drops of DMF in dichloromethane (20ml) at 20°C. When the vigourous reaction ceased the temperature was raised to reflux for 15hours. The mixture was evaporated to dryness to give 2.7g of the acid chloride as a solid. ^{1}H-NMR (300 MHz, $CDCl_3$), δ (ppm): 4.48(s, H), 4.0(s,3H).

b) Preparation of 5-formyl-2-methyl-2H-1,2,3-triazole-4-carboxylic acid methyl ester.

To a solution of freshly prepared 5-Chlorocarbonyl-2-methyl-2H-1,2,3-triazole-4-carboxylic acid methyl ester (2.7g; ca.13mmol) in THF (270 ml) was added ethyl-diisopropyl-amine (1.88g; 1.1eq.). The mixture was hydrogenated in the presence of 2.7g 10% Pd/C at 0-5°C at normal pressure for 2½ hours and subsequently filtered from the catalyst. The clear solution was evaporated to give the crude as a solid which was dissolved again in ethyl acetate and stirred for a couple of minutes with silica gel. After filtration and evaporation 1.77 g (84%) of pure product as off-white crystals were obtained [m.p. 107-108°C; ^{1}H-NMR (300 MHz, $CDCl_3$), δ (ppm): 10.43(s,1H), 4.33(s,3H), 4.01(s,3H)].

c) Preparation of 2-methyl-5-difluoromethyl-2H-1,2,3-triazole-5-carboxylic acid methyl ester. [Compound No.1.03.]

5-Formyl-2-methyl-2H-1,2,3-triazole-4-carboxylic acid methyl ester (600mg; 3.5mmol) in 0.5ml $CHCl_3$ were reacted with (bis(2-methoxyethyl)amino) sulfurtrifluoride (1350mg; 6.1mmol) at ambient temperature to 50°C for 6days. The resulting orange solution was carefully quenched with 6ml of a saturated aqueous $NaHCO_3$ solution (vigorous reaction) and extracted with ethyl acetate (twice). The combined organic phases were washed with aqueous $NaHCO_3$-solution, dried over anhydrous $MgSO_4$ and evaporated to give 351mg (52%) of colourless crystals.

^{1}H-NMR (300MHz, $CDCl_3$), δ (ppm): 7.15(t, J_{HF} = 53.5 Hz, 1H, H-CF_2), 4.30(s,3H), 3.98(s,3H); ^{19}F-NMR (235 MHz, $CDCl_3$), δ (ppm): -116.1; ^{13}C-NMR (125MHz, $CDCl_3$), δ (ppm): 160.0, 143.6(t, $J_{C(5)F}$ = 25.6 Hz), 137.2, 108.0(t, $J_{(CF)}$= 237.8 Hz, CHF_2), 52.6, 42.7].

EXAMPLE 3

This Example illustrates the preparation of Compound No.1.50 [2-methyl-5-fluoromethyl-2H-1,2,3-triazole-5-carboxylic acid methyl ester].

a) Preparation of 5-hydroxymethyl-2-methyl-2H-1,2,3-triazole-4-carboxylic acid methyl ester.

2.6g (13.3mmol) of 5-formyl-2-methyl-2H-1,2,3-triazole-4-carboxylic acid methyl ester (see Example 2a) in methanol (100ml) was treated with $NaBH_4$ (601mg) under stirring for 1hour at ambient temperature. The reaction mixture was quenched with saturated aqueous ammonium chloride solution, extracted with ethyl acetate, dried with Na_2SO_4 and evaporated to give the crude as an oil. Purification on silica gel in ethyl acetate : hexane (2:1) yielded 1.85g (81%) of the crystalline product,m.p. 112-113°C.

^{1}H-NMR (300MHz, $CDCl_3$), δ (ppm): 4.86(d, J = 6.9 Hz, 1H), 4.22(s,3H), 3.98(s,3H), 3.53(t; J = 6.9 Hz, exchangeable with D_2O).

b) Preparation of 2-methyl-5-fluoromethyl-2H-1,2,3-triazole-5-carboxylic acid methyl ester. [Compound No.1.50.]

A solution of 5-hydroxymethyl-2-methyl-2H-1,2,3-triazole-4-carboxylic acid methyl ester (200mg; 1.1mmol) in CH_2Cl_2 (15ml) was reacted with 0.26ml diethylamino sulfur trifluoride (2mmol) for 15minutes at –40°C followed by 15hours at ambient

temperature. After evaporation, the crude product was purified on silica gel in ethyl acetate : hexane (3:1) to give 181mg (95%) of the desired product, m.p. 64-66°C.

^{1}H-NMR (300MHz, $CDCl_3$), δ (ppm): 5.66(d, J_{HF} = 47.5 Hz, 2H, H_2-CF), 4.26(s,3H), 3.96(s,3H).

^{19}F-NMR (235 MHz, $CDCl_3$), δ (ppm): -214.

^{13}C-NMR (125MHz, $CDCl_3$), δ (ppm): 161.6, 145.86 (d, $J_{C(5)F}$= 18.7 Hz), 137.09, 74.82(d, J_{CF} = 166.6 Hz, CH_2F), 52.2, 42.3.

EXAMPLE 4

This Example illustrates the preparation of Compound No.3.017 [5-difluoromethyl-2-methyl-2H-1,2,3-triazole-4-carboxylic acid (4`-chloro-biphenyl-2-yl)-amide].

To a solution of 2-methyl-5-difluoromethyl-2H-1,2,3-triazole-5-carboxylic acid methyl ester (300mg; 1.57mmol) and 4`-chloro-biphenyl-2-ylamine (320mg; 1.57mmol) in THF (3ml) was added sodium bis(trimethylsilyl)-amide (0.88ml 2M in THF; 1.76mmol; 1.12eq.) by syringe at 0°C over 1.5minutes. The reaction mixture was stirred at 0°C for 15minutes and then at ambient temperature for 22 hours. It was then poured on cold saturated NH_4Cl solution and extracted with ethyl acetate. After washing with brine it was dried (anhydrous $MgSO_4$) and evaporated to dryness to give a solid, which was triturated with hexane. The colourless crystalline product was filtered and dried: 300mg (53%) [m.p. 155-156°C; 1H-NMR (300MHz, $CDCl_3$), δ (ppm): 8.5(br, exchangeable with D_2O, 1H), 8.4 (d, 1H), 7.5-7.2(m,7H), 7.38 (t, J_{HF} = 52.5 Hz,1H, CHF_2), 4.2(s,3H), LC-MS: 363(M+H)].

EXAMPLE 5

This Example illustrates the preparation of Compound No.2.219 [2-methyl-5-trifluoromethyl-2H-1,2,3-triazole-4-carboxylic acid [2-(1,3-dimethyl-butyl)-phenyl]-amide].

To a solution of 2-methyl-5-trifluoromethyl-2H-1,2,3-triazole-4-carboxylic acid methyl ester (150mg; 0.75mmol) and 2-(1,3-dimethyl-butyl)-phenylamine (133mg; 0.75mmol) in 1.5ml THF was added sodium bis(trimethylsilyl)-amide (0.638ml 2M in THF; 1.7eq.) by syringe at ambient temperature. The reaction mixture was stirred for 20hours and was then poured on cold saturated NH_4Cl solution and extracted with ethyl

acetate. After washing with brine it was dried (anhydrous $MgSO_4$) and evaporated to dryness to give the crude product, which was purified on silica gel in cyclohexane-ethyl acetate (18:1) The crystalline product was triturated in hexane, filtered and dried *in vacuo* to yield 130mg (49%) of Compound No. 2.219 [mp 94.6-95.4°C; 1H-NMR (300MHz, $CDCl_3$), δ (ppm): 8.5(br.s, exchangeable with D_2O,1H), 8.0(d,1H), 7.3-7.15(m,3H), 4.33(s,3H), 3.0(m,1H), 1:55-1.35(m,3H), 1.26(d,3H), 0.9(2d,6H); LC-MS: 355.6(M+H)].

EXAMPLE 6

This Example illustrates the preparation of Compound No.26.014 [1,8-Dimethyl-11-oxa-tricyclo[6.2.1.0*2.7*]undeca-2,4,6-trien-3-yl-amine].

A solution of 1,4-dimethyl-5-nitro-1,4-dihydro-1,4-epoxynaphthalene (5.49g; 25.27mmol) (see T. Nishiyama et al., *Rikagaku-hen, 28*, 37-43 (2000)) in 55ml THF was hydrogenated in the presence of RaNi (1.1g) at ambient temparature. Hydrogen uptake was 2.23litre (97%) after 18hours. After filtering off the catalyst, the filtrate was evaporated and taken into ether, washed with aqueous $NaHCO_3$-solution and dried ($NaSO_4$) to give 4.60g of crude product, as an oil. Trituration with hexane and a trace of ether furnished a total of 4.5g (94%) of reddish crystalline product, m.p.92-93°C.

^{1}H-NMR (300 MHz, $CDCl_3$), δ (ppm): 7.05(t,1H), 6.7(t,2H), ca.5(br.,exchangeable with D_2O, 2H), 2.0(s,3H), 1.9(m,2H), 1.8(s,3H), 1.7(m,1H), 1.5(m,1H).

EXAMPLE 7

This Example illustrates the preparation of Compound No.26.001 [1,8-Dimethyl-11-oxa-tricyclo[6.2.1.0*2.7*]undeca-2,4,6,9-tetraen-3-yl-amine].

To 1,4-dimethyl-5-nitro-1,4-dihydro-1,4-epoxynaphthalene (4.22g; 19.43mmol) (see Example 6) in ethanol (60ml) was added a solution of ammoniumchloride (2.08g) in H_2O (5.2ml) at 47°C. Under vigorous stirring, zinc powder (9.10g; 0.14mol) was added in portions over a period of 5minutes. The suspension was heated to reflux for 5½hours followed by filtration through Hyflo™ to give a clear yellow filtrate. After evaporation, the crude product amounted 4.57g, as a viscous oil. Column chromatography on silica gel in ethyl acetate-hexane (1:4) gave 1.24g (34%) of the desired product, as brownish crystals, m.p. 92-96°C.

^{1}H-NMR (300 MHz, $CDCl_3$), δ(ppm): 6.85 and 6.7(two m, 2x2H), 6.47(t,1H), ca.5-3 (br., exchangeable with D_2O,2H), 2.07(s,3H), 1.85(s,3H).

FORMULATION EXAMPLES FOR COMPOUNDS OF FORMULA (I)

Working procedures for preparing formulations of the compounds of formula I such as Emulsifiable Concentrates, Solutions, Granules, Dusts and Wettable Powders are described in WO97/33890.

BIOLOGICAL EXAMPLES: FUNGICIDAL ACTIONS

Example B-1: Action against Puccinia recondita / wheat (Brownrust on wheat)

1 week old wheat plants cv. Arina are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the wheat plants are inoculated by spraying a spore suspension ($1x10^5$uredospores/ml) on the test plants. After an incubation period of 2 days at 20°C and 95%r.h. the plants are kept in a greenhouse for 8days at 20°C and 60%r.h. The disease incidence is assessed 10days after inoculation.

Infestation is prevented virtually completely (0-5% infestation) with each of Compounds 2.273, 3.219, 3.273, 3.321, 8.189, 9.189, 20.017, 20.022, 21.017 and 21.022.

Example B-2: Action against Podosphaera leucotricha / apple (Powdery mildew on apple)

5 week old apple seedlings cv. McIntosh are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after, the application apple plants are inoculated by shaking plants infected with apple powdery mildew above the test plants. After an incubation period of 12 days at 22°C and 60%r.h. under a light regime of 14/10hours (light/dark) the disease incidence is assessed.

Compounds 2.005, 3.017, 3.219 and 9.189 each exhibit strong efficacy (<20% infestation).

Example B-3: Action against Venturia inaequalis / apple (Scab on apple)

4 week old apple seedlings cv. McIntosh are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the apple plants are inoculated by spraying a spore suspension ($4x10^5$conidia/ml) on the test plants. After an incubation period of 4 days at 21°C and 95%r.h. the plants are placed for 4 days at 21°C and 60%r.h. in a greenhouse. After another 4 day incubation period at 21°C and 95%r.h. the disease incidence is assessed.

Compounds 3.017, 3.219 and 9.189 each exhibit strong efficacy (<20% infestation).

Example B-4: Action against Erysiphe graminis / barley (Powdery mildew on barley)

1 week old barley plants cv. Regina are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the barley plants are inoculated by shaking powdery mildew infected plants above the test plants. After an incubation period of 6 days at 20°C / 18°C (day/night) and 60%r.h. in a greenhouse the disease incidence is assessed.

Compounds 2.017, 2.029, 2.273, 3.005, 3.017, 3.029, 3.067, 3.070, 3.219, 3.273, 3.321, 3.407, 8.189, 9.189 and 21.017 each exhibit strong efficacy (<20% infestation).

Example B-5: Action against Botrytis cinerea / grape (Botrytis on grapes)

5 week old grape seedlings cv. Gutedel are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. Two days after application, the grape plants are inoculated by spraying a spore suspension ($1x10^6$ conidia/ml) on the test plants. After an incubation period of 4 days at 21°C and 95%r.h. in a greenhouse the disease incidence is assessed.

Compounds 2.029, 3.017 and 3.219 each show good activity in this test (<50% disease incidence).

Example B-6: Action against Botrytis cinerea / tomato (Botrytis on tomatoes)

4 week old tomato plants cv. Roter Gnom are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. Two days after application, the tomato plants are inoculated by spraying a spore suspension ($1x10^5$conidia/ml) on the test plants. After an incubation period of 4 days at 20°C and 95%r.h. in a growth chamber the disease incidence is assessed.

Compounds 2.029, 3.005, 3.029, 3.067, 3.070, 3.219, 3.273, 9.189 and 20.017 each exhibit good efficacy (<50% disease incidence).

Example B-7: Action against Septoria nodorum / wheat (Septoria leaf spot on wheat)

1 week old wheat plants cv. Arina are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the wheat plants are inoculated by spraying a spore suspension ($5x10^5$conidia/ml) on the test plants. After an incubation period of 1 day at 20°C and 95%r.h. the plants are kept for 10 days at 20°C and 60%r.h. in a greenhouse. The disease incidence is assessed 11 days after inoculation.

Compounds 3.273 and 9.189 each show good activity in this test (<50% disease incidence).

Example B-8: Action against Helminthosporium teres / barley (Net blotch on barley)

1 week old barley plants cv. Regina are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. Two days after application, the barley plants are inoculated by spraying a spore suspension ($3x10^4$conidia/ml) on the test plants. After an incubation period of 4 days at 20°C and 95%r.h. in a greenhouse the disease incidence is assessed.

Compounds 2.005, 2.017, 2.029, 2.067, 2.070, 2.273, 3.005, 3.017, 3.029, 3.067, 3.070, 3.219, 3.407, 9.189 and 21.017 each show good activity in this test (<20% disease incidence).

Example B-9: Action against Alternaria solani / tomato (Early blight on tomatoes)

4 week old tomato plants cv. Roter Gnom are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. Two days after application, the tomato plants are inoculated by spraying a spore suspension ($2x10^5$conidia/ml) on the test plants. After an incubation period of 3 days at 20°C and 95%r.h. in a growth chamber the disease incidence is assessed.

Compounds 2.005, 2.029, 3.005, 3.017, 3.029 and 9.189 each show good activity in this test (<20% disease incidence).

Example B-10: Action against Uncinula necator / grape (Powdery mildew on grapes)

5 week old grape seedlings cv. Gutedel are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application, the grape plants are inoculated by shaking plants infected with grape powdery mildew above the test plants. After an incubation period of 7 days at 26°C and 60%r.h. under a light regime of 14/10hours (light/dark) the disease incidence is assessed.

Compounds 3.017, 3.219 and 9.189 each show good activity in this test (<20% disease incidence).